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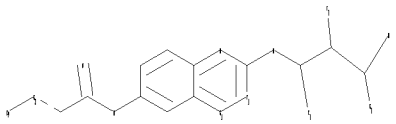
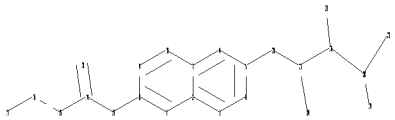
L * * * * * * * * STN Columbus * * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 14:46:44 ON 20 AUG 2008

=> file reg
C
=>
Uploading C:\Program Files\Stnexp\Queries\2538455.str





chain nodes :
13 14 15 16 17 18 24 26 27 28 29
ring nodes :
1 2 3 4 5 6 7 8 9 10
ring/chain nodes :
20 21 22
chain bonds :

5-20 8-13 13-14 14-15 14-18 15-16 16-17 21-24 21-26 22-28 26-27 26-28
28-29
ring/chain bonds :
20-21
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 9-10
exact/norm bonds :
1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 5-20 7-8 8-9 8-13 9-10 13-14 14-15
14-18 15-16 16-17 20-21 21-24 21-26 22-28 26-27 26-28 28-29
isolated ring systems :
containing 1 :

G1:C,N

G2:C,O,S,N

G3:H,Ak

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:Atom 18:CLASS 20:CLASS 21:CLASS
22:CLASS 24:CLASS 26:CLASS 27:CLASS 28:CLASS 29:CLASS

L1 STRUCTURE UPLOADED

=>
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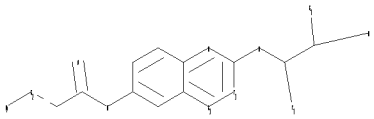
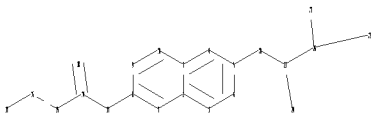




chain nodes :
13 14 15 16 17 18 24 26 27
ring nodes :
1 2 3 4 5 6 7 8 9 10
ring/chain nodes :
20 21 22
chain bonds :
5-20 8-13 13-14 14-15 14-18 15-16 16-17 21-24 21-26 22-26 26-27
ring/chain bonds :
20-21
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 9-10
exact/norm bonds :
1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 5-20 7-8 8-9 8-13 9-10 13-14 14-15
14-18 15-16 16-17 20-21 21-24 21-26 22-26 26-27
isolated ring systems :
containing 1 :

G1:C,N

G2:C,O,S,N

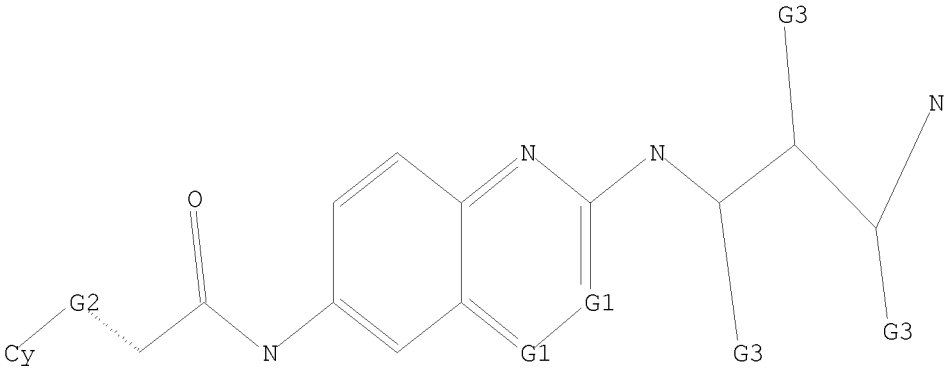
G3:H,Ak

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:Atom 18:CLASS 20:CLASS 21:CLASS
22:CLASS 24:CLASS 26:CLASS 27:CLASS

L2 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



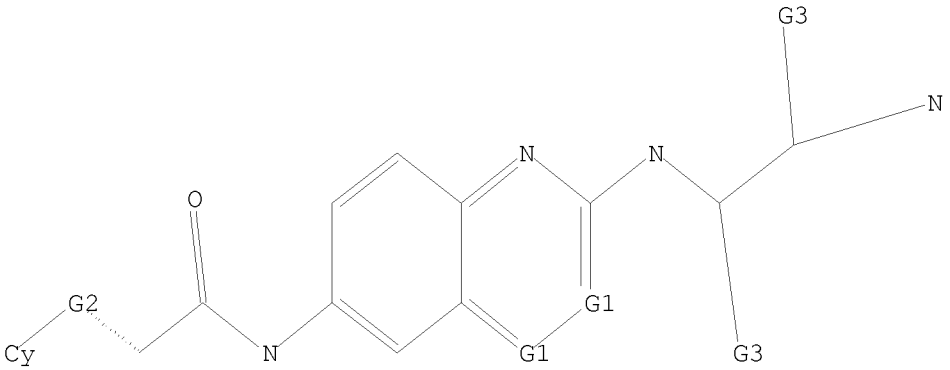
G1 C,N

G2 C,O,S,N

G3 H,Ak

Structure attributes must be viewed using STN Express query preparation.

=> d l2
L2 HAS NO ANSWERS
L2 STR



G1 C,N

G2 C,O,S,N

G3 H,Ak

Structure attributes must be viewed using STN Express query preparation.

```
=> s l1 sam
SAMPLE SEARCH INITIATED 14:47:50 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      257 TO ITERATE

100.0% PROCESSED      257 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         4179 TO     6101
PROJECTED ANSWERS:               0 TO        0

L3            0 SEA SSS SAM L1

=> s l2 sam
SAMPLE SEARCH INITIATED 14:47:55 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      136 TO ITERATE

100.0% PROCESSED      136 ITERATIONS                                3 ANSWERS
SEARCH TIME: 00.00.01

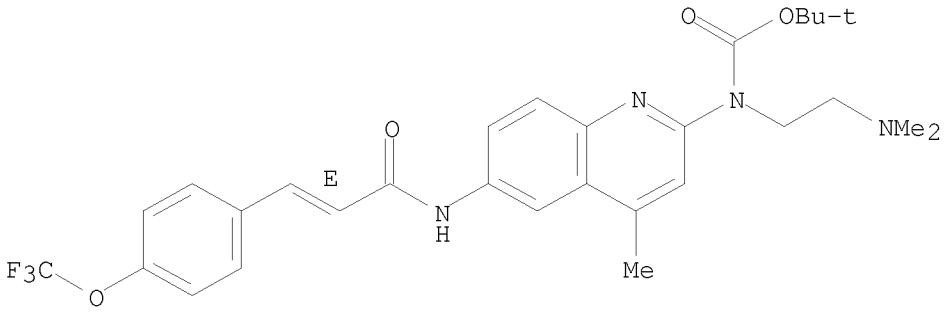
FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         2021 TO     3419
PROJECTED ANSWERS:               3 TO      163

L4            3 SEA SSS SAM L2

=> d scan

L4   3 ANSWERS   REGISTRY  COPYRIGHT 2008 ACS on STN
IN   Carbamic acid, [2-(dimethylamino)ethyl][4-methyl-6-[[(2E)-1-oxo-3-[4-
     (trifluoromethoxy)phenyl]-2-propenyl]amino]-2-quinolinyl]-,
     1,1-dimethylethyl ester (9CI)
MF   C29 H33 F3 N4 O4

Double bond geometry as shown.
```



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):end

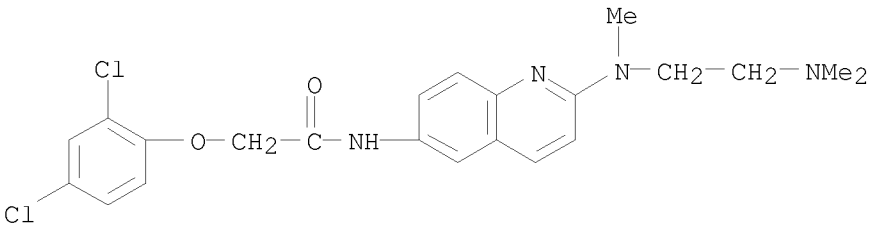
=> s l1 or l2 full
FULL SEARCH INITIATED 14:48:06 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 5487 TO ITERATE

100.0% PROCESSED 5487 ITERATIONS 61 ANSWERS
SEARCH TIME: 00.00.01

L5 61 SEA SSS FUL L1 OR L2

=> d scan

L5 61 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN Acetamide, 2-(2,4-dichlorophenoxy)-N-[2-[[2-(dimethylamino)ethyl]methylamino]-6-quinolinyl]-
MF C22 H24 Cl2 N4 O2



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):end

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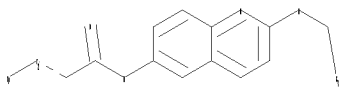
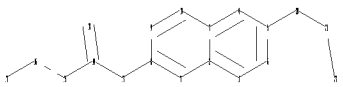
Uploading C:\Program Files\Stnexp\Queries\22.str





chain nodes :
13 14 15 16 17 18 23
ring nodes :
1 2 3 4 5 6 7 8 9 10
ring/chain nodes :
20 21
chain bonds :
5-20 8-13 13-14 14-15 14-18 15-16 16-17 21-23
ring/chain bonds :
20-21
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 9-10
exact/norm bonds :
5-20 8-13 13-14 14-18 15-16 16-17 20-21 21-23
exact bonds :
14-15
normalized bonds :
1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 9-10
isolated ring systems :
containing 1 :

G1:C,N

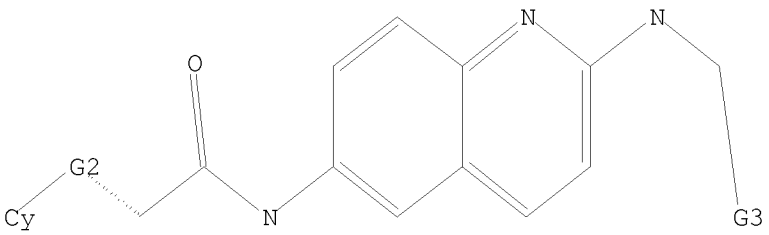
G2:C,O,S,N

G3:H,Ak

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:Atom 18:CLASS 20:CLASS 21:CLASS
23:CLASS

L6 STRUCTURE UPLOADED

=> d l6
L6 HAS NO ANSWERS
L6 STR



G1 C,N

G2 C,O,S,N

G3 H,Ak

Structure attributes must be viewed using STN Express query preparation.

=> s l6 full
FULL SEARCH INITIATED 14:49:02 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 3850 TO ITERATE

100.0% PROCESSED 3850 ITERATIONS 556 ANSWERS
SEARCH TIME: 00.00.01

L7 556 SEA SSS FUL L6

=> d his

(FILE 'HOME' ENTERED AT 14:46:44 ON 20 AUG 2008)

FILE 'REGISTRY' ENTERED AT 14:47:10 ON 20 AUG 2008
L1 STRUCTURE UPLOADED
L2 STRUCTURE UPLOADED
L3 0 S L1 SAM
L4 3 S L2 SAM
L5 61 S L1 OR L2 FULL

```
L6              STRUCTURE UPLOADED
L7          556 S L6 FULL

=> s l5 not l7
L8            2 L5 NOT L7

=> file ca

=> s l8
L9            3 L8

=> d ibib abs hitstr 1-3

L9   ANSWER 1 OF 3  CA  COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:         146:441712  CA
TITLE:                    Quinazoline and benzimidazole MCH-1R antagonists
AUTHOR(S):                Arienzo, Rosa; Cramp, Sue; Dyke, Hazel J.; Lockey,
                          Peter M.; Norman, Dennis; Roach, Alan G.; Smith, Phil;
                          Wong, Melanie; Wren, Stephen P.
CORPORATE SOURCE:         Argenta Discovery Limited, Harlow, Essex, CM19 5TR, UK
SOURCE:                   Bioorganic & Medicinal Chemistry Letters (2007),
                          17(5), 1403-1407
                          CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER:                Elsevier Ltd.
DOCUMENT TYPE:            Journal
LANGUAGE:                 English
OTHER SOURCE(S):          CASREACT 146:441712
GI
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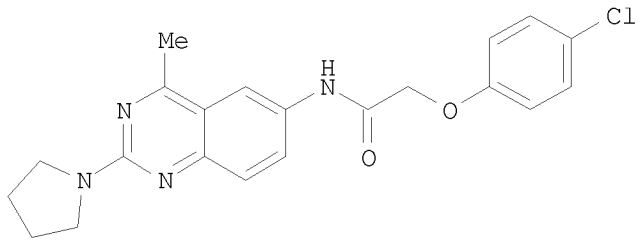

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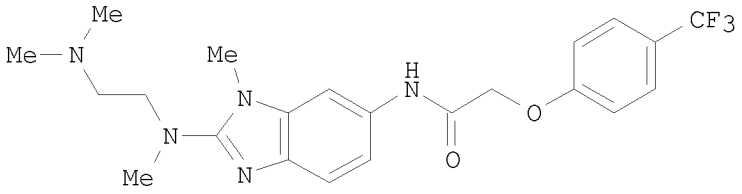
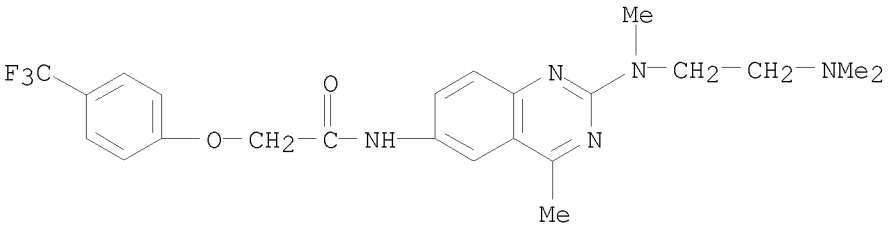


II

AB Two novel series of MCH-1R antagonists were obtained by modification of previous reported 2-aminoquinoline derivs. Representative quinazoline compound I and benzimidazole derivative II were shown to be potent and selective, with promising in vitro eADME profiles.

IT 850172-29-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of quinoxaline, quinazoline and benzimidazole derivs. using heterocyclization and amidation as key steps and their MCH-1R antagonistic activity)
RN 850172-29-1 CA
CN Acetamide, N-[2-[[2-(dimethylamino)ethyl]methylamino]-4-methyl-6-quinazolinyl]-2-[4-(trifluoromethyl)phenoxy]- (CA INDEX NAME)

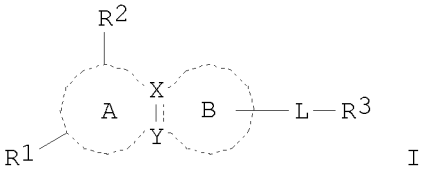


REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

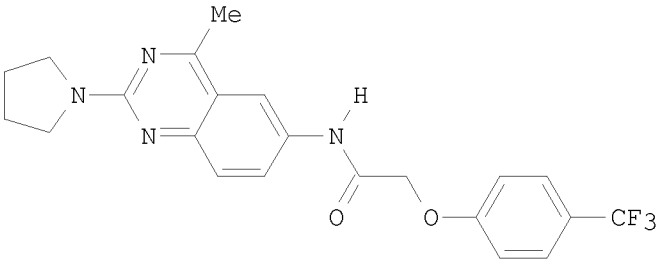
L9 ANSWER 2 OF 3 CA COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 142:392434 CA
TITLE: Preparation of N-containing heterocyclic derivatives as MCH receptor modulators
INVENTOR(S): Dyke, Hazel Joan; Cramp, Susan Mary; Clark, David Edward
PATENT ASSIGNEE(S): Argenta Discovery Ltd., UK
SOURCE: PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005035526	A1	20050421	WO 2004-GB4329	20041011
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: GB 2003-23692 A 20031009
GB 2004-461 A 20040109
OTHER SOURCE(S): CASREACT 142:392434; MARPAT 142:392434
GI



I



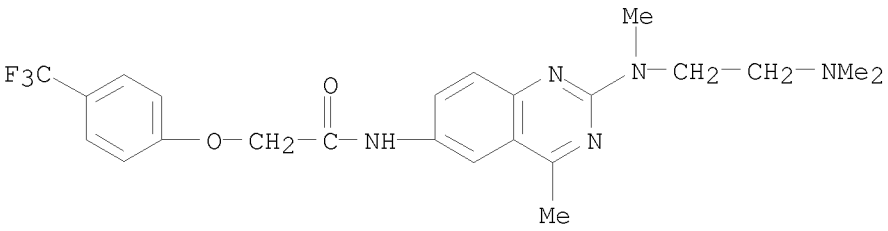
II

AB Title compds. I [X, Y independently = N, C; R1 = (un)substituted-aryl, -heteroaryl, -aryl-fused-cycloalkyl, etc.; R2 = H, alkyl, R4, etc.; R3 = (un)substituted-aryl, -heteroaryl, -heteroaryl-fused-cycloalkyl, etc.; R4 = halo, CN, OR5, etc.; R5 = H, alkyl, haloalkyl, etc.] and their pharmaceutically acceptable salts, are prepared and disclosed as modulators of MCH receptors. Thus, e.g., II was prepared by carbonylation of 6-amino-4-methyl-2-(1-pyrrolidino)quinazoline (preparation given) with 4-trifluoromethylphenoxyacetic acid. The activity of I was evaluated using a Ca2+ mobility assay and IC50 values were extracted (no data given). I as MCH receptor modulators should prove useful in the treatment of obesity.

IT 850172-29-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-containing heterocyclic derivs. as MCH receptor modulators)

RN 850172-29-1 CA

CN Acetamide, N-[2-[[2-(dimethylamino)ethyl]methylamino]-4-methyl-6-quinazolinyl]-2-[4-(trifluoromethyl)phenoxy]- (CA INDEX NAME)



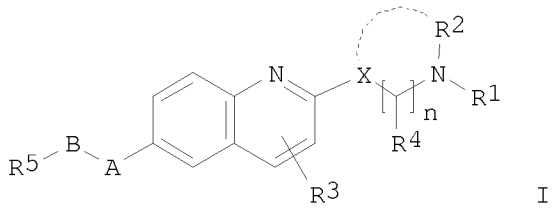
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 3 OF 3 CA COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 141:71458 CA
TITLE: Preparation of quinoline compounds for use in MCH receptor related disorders
INVENTOR(S): Frimurer, Thomas Michael; Ulven, Trond; Hoegberg, Thomas; Norregaard, Pja Karina; Little, Paul Brian; Receveur, Jean-Marie
PATENT ASSIGNEE(S): 7TM Pharma A/S, Den.
SOURCE: PCT Int. Appl., 217 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004052370	A2	20040624	WO 2003-DK857	20031211
WO 2004052370	A3	20040819		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2508681	A1	20040624	CA 2003-2508681	20031211
AU 2003287878	A1	20040630	AU 2003-287878	20031211
EP 1572212	A2	20050914	EP 2003-779716	20031211
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 20060111357	A1	20060525	US 2005-538455	20050902

PRIORITY APPLN. INFO.: DK 2002-1900 A 20021211
WO 2003-DK857 W 20031211

OTHER SOURCE(S): MARPAT 141:71458
GI



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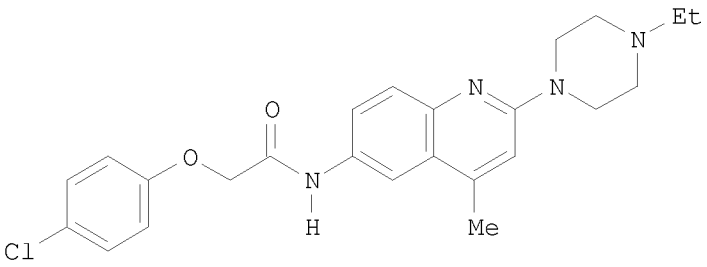


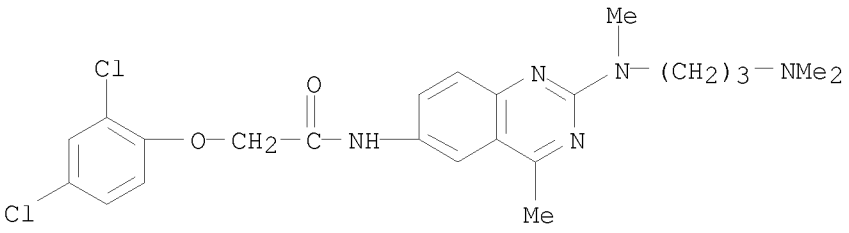
II

AB The present invention relates to the use of quinoline compds. I [A = CR7:CR7CONR7, YCR7CONR7, CONR7CONR7, etc. (wherein Y = CHR7, O, S, NR7; R7 = H, alkyl, alkenyl; R7 can be linked direct or via heteroatoms to B or the quinoline ring system when chemical feasible); X = N, C, O, S and X being restricted to N or C when linked to R2; B = (hetero)aryl; R1, R2 = H, alkyl, cycloalkyl, etc.; R4 = H, alkyl; R3 = H, alkyl, halo, etc.; R1, R2, R3 or R4 may optionally be linked to each other, or to the carbon chain linking the two N atoms, when possible, and O or NR1 may be inserted in the chain or ring; R4 may optionally be linked to X; R5 = H, halo, alkyl, etc.; n = 0-3; with provisos] for the preparation of a pharmaceutical and/or a cosmetic composition for the treatment, prophylaxis and/or diagnosis of a condition caused by or involving a melanin-concentrating hormone. The invention also relates to novel quinoline compds. per se. The synthesis of the compds. I and their intermediates is described in 184 synthetic examples. E.g., a 4-step synthesis of II, starting from 2-chlorolepidine and N-ethylpiperazine, which showed IC50 of 20 nM against MCH-1 receptor binding, was given. The quinoline compds. I have been found to interact with a melanin-concentrating hormone receptor, a MCH receptor. The compds. I have modulating activity on the MCH receptor such as e.g. antagonistic, agonistic or allosteric activity and are useful for medicinal or cosmetic purposes such as, e.g. in the treatment or prevention of feeding disorders like obesity, metabolic syndrome, Type II diabetes, bulimia, etc. or in the treatment or prevention of depression.

IT 712266-95-0P
RL: COS (Cosmetic use); PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinoline compds. for use in MCH receptor related disorders)

RN 712266-95-0 CA

CN Acetamide, 2-(2,4-dichlorophenoxy)-N-[2-[[3-(dimethylamino)propyl]methylamino]-4-methyl-6-quinazolinyl]- (CA INDEX NAME)



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=> file marpat
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                      15.94     466.73

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                         ENTRY    SESSION
CA SUBSCRIBER PRICE                                      -2.25      -2.25

FILE 'MARPAT' ENTERED AT 14:49:57 ON 20 AUG 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE CONTENT: 1961-PRESENT VOL 149 ISS 6 (20080815/ED)

SOME MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1961-1987

MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US  20080154069 26 JUN 2008
DE 102007060672 26 JUN 2008
EP      1939177 02 JUL 2008
JP   2008153047 03 JUL 2008
WO   2008083542 17 JUL 2008
GB      2444641 11 JUN 2008
FR      2910473 27 JUN 2008
RU      2327710 27 JUN 2008
CA      2615024 14 JUN 2008

Expanded G-group definition display now available.

Effective December 15th the iteration and answer limits in MARPAT
have increased from 100,000 to 200,000 for both on-line and batch
searches.  For more information on MARPAT search limits, type HELP
SLIMITS at an arrow prompt.

=> d his

     (FILE 'HOME' ENTERED AT 14:46:44 ON 20 AUG 2008)

     FILE 'REGISTRY' ENTERED AT 14:47:10 ON 20 AUG 2008
L1              STRUCTURE UPLOADED
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L2              STRUCTURE UPLOADED
L3            0 S L1 SAM
L4            3 S L2 SAM
L5           61 S L1 OR L2 FULL
L6              STRUCTURE UPLOADED
L7          556 S L6 FULL
L8            2 S L5 NOT L7

     FILE 'CA' ENTERED AT 14:49:13 ON 20 AUG 2008
L9            3 S L8

     FILE 'MARPAT' ENTERED AT 14:49:57 ON 20 AUG 2008

=> s l5 full
STRUCTURE LOGIC NOT ALLOWED IN A DOCUMENT-BASED STRUCTURE FILE

=> s l1 full
RC BOND NOT ALLOWED IN A GENERIC STRUCTURE FILE
Searching a Markush structure file requires that all bonds in a query
structure have definite specifications as ring bonds or chain bonds.
The bond type 'RC', representing Ring or Chain, is not allowed for
searching a Markush structure file.  You may respecify the 'RC' bond
in the structure as 'R' or 'C' by using the STRUCTURE command to
RECALL this structure and then using the BOND command at the colon
prompt (:).  For more information on using these commands, enter
"STRUCTURE" at an arrow prompt (=>) and then at the colon prompt (:)
enter "HELP RECALL" or "HELP BOND".

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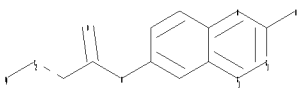

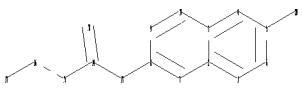

chain nodes :

13 14 15 16 17 18
ring nodes :
1 2 3 4 5 6 7 8 9 10
ring/chain nodes :
20
chain bonds :
5-20 8-13 13-14 14-15 14-18 15-16 16-17
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 9-10
exact/norm bonds :
1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 5-20 7-8 8-9 8-13 9-10 13-14 14-15
14-18 15-16 16-17
isolated ring systems :
containing 1 :

G1:C,N

G2:C,O,S,N

G3:H,Ak

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:Atom 18:CLASS 20:CLASS

L10 STRUCTURE UPLOADED

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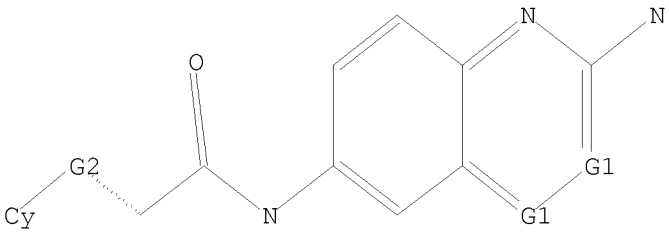
L10 HAS NO ANSWERS
L10 STR



G1 C,N

G2 C,O,S,N

G3 H,Ak

Structure attributes must be viewed using STN Express query preparation.

=> s l10 full
FULL SEARCH INITIATED 14:51:24 FILE 'MARPAT'
FULL SCREEN SEARCH COMPLETED - 31973 TO ITERATE

83.5% PROCESSED 26684 ITERATIONS 32 ANSWERS

98.4% PROCESSED 31468 ITERATIONS 40 ANSWERS

100.0% PROCESSED 31973 ITERATIONS 42 ANSWERS
SEARCH TIME: 00.00.50

L11 42 SEA SSS FUL L10

=> d ibib abs fqhit 1-42

L11 ANSWER 1 OF 42 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 149:128864 MARPAT
TITLE: Preparation of quinazolines for PDK1 inhibition
INVENTOR(S): Ramurthy, Savithri; Lin, Xiaodong; Subramanian, Sharada; Rico, Alice C.; Wang, Xiaojing M.; Jain, Rama; Murray, Jeremy M.; Basham, Steven E.; Warne, Robert L.; Shu, Wei; Zhou, Yasheen; Dove, Jeffrey; Aikawa, Mina; Amiri, Payman
PATENT ASSIGNEE(S): Novartis Vaccines & Diagnostics, Inc., USA
SOURCE: PCT Int. Appl., 355pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

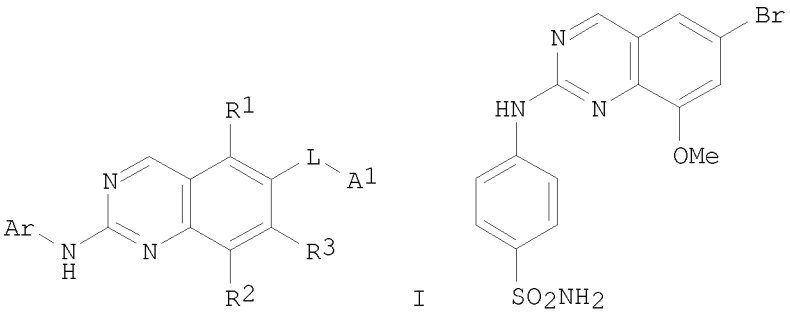
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008079988	A2	20080703	WO 2007-US88392	20071220

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2006-876972P 20061222
US 2007-999170P 20071015

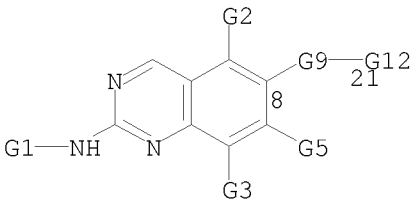
GI



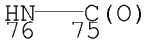
I II

AB The title compds. I [Ar = (un)substituted (hetero)aryl; R1 = H, alkyl, halo, etc.; R2 = H, alkoxy, alkyl, etc.; R3 = H, halo, CN, etc.; L = a bond, C(O), CONH, O, etc.; A1 = alkyl, alkoxy, acyl, etc.; with the provisos] that are inhibitors of PDK1, were prepared E.g., a multi-step synthesis of II, starting from 2-amino-3-methoxybenzoic acid, was given. Exemplified compds. I were tested in PDK1 kinase alpha screen assay. One-hundred-forty exemplified compds. I showed IC50's of less than 25 μM, and of those, 131 showed IC50's of less than 5 μM. Also provided are pharmaceutical compns. including the compds. I, and methods of treating proliferative diseases, such as cancers, with the compds. or compns.

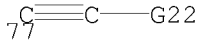
MSTR 1



G9 = 76-8 75-21



G12 = 77



G22 = Ph

Patent location: claim 1
Note: additional ring oxo formation also claimed
Note: substitution is restricted

L11 ANSWER 2 OF 42 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 148:538082 MARPAT
TITLE: Preparation of phenylamino-substituted piperidine compounds as NPY5 receptor regulators
INVENTOR(S): Garcia-Lopez, Monica; Mas-Prio, Josep; Torrens-Jover, Antonio
PATENT ASSIGNEE(S): Laboratorios Del Dr. Esteve S.A., Spain
SOURCE: PCT Int. Appl., 90pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008052769	A1	20080508	WO 2007-EP9465	20071031
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1918281	A1	20080507	EP 2006-384017	20061102
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				

PRIORITY APPLN. INFO.: EP 2006-384017 20061102
GI

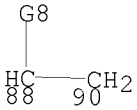
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [X, Y = H, halo, nitro, etc.; R1-R3 = H, halo, aliphatic radical, etc.; R5 = H, aliphatic radical or -A-CO-NR10R11; R6-R9 = H, aliphatic radical, cyano, etc.; A = -CHR18 or -CHR18-CH2-; R10 = H or aliphatic radical; R11 = aliphatic radical, cycloaliph. radical, aryl radical, etc.; R18 = H or aliphatic radical] or stereoisomers (preferably enantiomers or diastereomers), racemates, mixts. of at least two of stereoisomers (preferably enantiomers or diastereomers, in any mixing ratio), salts (preferably physiol. acceptable salts), or solvates thereof were prepared Thus, a multi-step synthesis of compound II [R = OH; Z = -CO-], starting from 3-aminofluoren-9-one, was given. In Neuropeptide Y5 (NPY5) binding assays, the IC50 value of compound II [R = H; Z = -N(Et)-] (III) was 23.7 nM. Compds. I are claimed useful for the treatment of obesity, anorexia, etc. Pharmaceutical composition comprising compound III is disclosed.

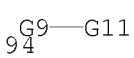
MSTR 1

G34—G21

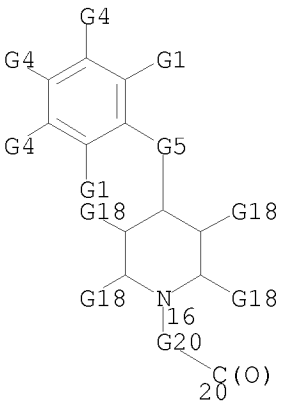
G9 = NH
G11 = quinolinyl (opt. substd. by 1 or more G31)
G20 = 88-16 90-20



G21 = 94



G31 = NH2 (opt. substd.)
G34 = 20



Patent location: claim 1
Note: or physiologically acceptable salts or solvates
Note: substitution is restricted
Note: also incorporates claim 26, formula II, and claim 26, formula IV
Stereochemistry: or stereoisomers, enantiomers, diastereomers, racemates, or mixtures

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 3 OF 42 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 148:262613 MARPAT
TITLE: Quinazoline derivatives as phosphodiesterase inhibitors, their preparation, pharmaceutical compositions, and use in therapy
INVENTOR(S): Ahn, Soon Kil; Lee, Sungsook; Choi, Nam Song; Lee, Jae Kwang; Moon, Seung Kee; Choi, Hojin; Kim, Su Jin; Kim,

Young Hoon; Kang, Sung Kwon; Lee, Hong Woo; Shin, Jaesoo; Kim, Sang Woong; Lee, Eun Ju; Kim, Eon Kyeom; Lee, Jung Gyu; Yoo, Chung Youl; Lee, Dae Yon; Im, Dai Sig
PATENT ASSIGNEE(S): Chong Kun Dang Pharmaceutical Corp., S. Korea; Leadgenex Inc.
SOURCE: PCT Int. Appl., 116pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008020711	A1	20080221	WO 2007-KR3908	20070816
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
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KR 2008015594	A	20080220	KR 2006-77125	20060816

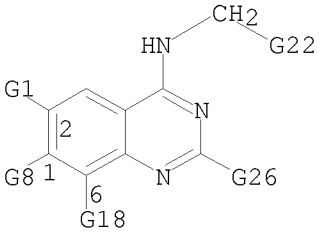
PRIORITY APPLN. INFO.: KR 2006-77125 20060816
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

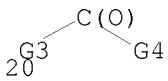
AB The invention relates to quinazoline derivs. of formula I, which are inhibitors of phosphodiesterase 5 (PDE-5). In compds. I, R1 is amino, nitro, cyano, (un)substituted carbamoyl, carboxy, (un)substituted C1-6 alkoxycarbonyl, (un)substituted acylamino, (un)substituted C1-6 alkylsulfonylamino, (un)substituted phenylsulfonylamino, or C2-4 thioacylamino; R2 is F, Cl, OH, C1-6 alkoxy, (un)substituted amino-C2-5 alkyl, formyl-C1-5 alkyl, or (un)substituted C1-6 alkyl-carbonyl-C1-5 alkyl, or R1 and R2 may form a fused piperazinone, piperazinedione, morpholinone, or morpholinedione; R3 is (un)substituted C1-6 alkyl, (un)substituted C2-6 alkenyl, 2,3-dihydroxypropyl, or -(CH2)m-X, where m is 0-3, and X is formyl, (un)substituted amino, OH, C1-6 alkoxy, carboxy, or (un)substituted carbamoyl, or R2 and R3 may form a fused 1,3-oxazine; R4 is H, Cl, dimethylamino, (un)substituted C4-5 cycloalkyl, or (un)substituted heterocyclyl; and R5 and R6 are independently selected from halo, OH, (un)substituted C1-6 alkyl, and (un)substituted C1-6 alkoxy, or R5 and R6 together may form a methylenedioxy; including salts, solvates or hydrates thereof. The invention also relates to the preparation of I, pharmaceutical compns. comprising a compound of formula I and a pharmaceutically acceptable carrier, as well as to the use of the compns. for the treatment of cardiovascular disease, particularly, male erectile

dysfunction. Chlorination of 7-chloro-6-nitro-4(3H)-quinazolinone followed by substitution with 3-chloro-4-methoxybenzylamine resulted in the formation of quinazoline II, which underwent substitution with sodium methoxide, demethylation, allylation with allyl bromide, and rearrangement to give quinazoline III. Several compds. of the invention, e.g., III, express IC50 values below 10 nM for PDE-5 and at least a 100-fold selectivity for PDE-5 over PDE-6.

MSTR 1



G1 = 20



G3 = NH
G4 = alkyl <containing 1-6 C> (substd. by 1 or more G17)
G17 = imidazolyl
G26 = piperidino (substd. by (1) G33)
Patent location: claim 1
Note: or pharmaceutically acceptable salts, solvates, or hydrates
Note: substitution is restricted
Stereochemistry: or isomers

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 4 OF 42 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 146:20277 MARPAT
TITLE: Method for treating B cell regulated autoimmune disorders
INVENTOR(S): Foley, Kevin; Bertin, John; Grant, Ethan P.
PATENT ASSIGNEE(S): Synta Pharmaceuticals Corp., USA
SOURCE: PCT Int. Appl., 327pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006128172	A2	20061130	WO 2006-US20908	20060526

WO 2006128172 A3 20080417
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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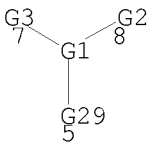
US 20070032493 A1 20070208 US 2006-442744 20060526
PRIORITY APPLN. INFO.: US 2005-685077P 20050526

AB The invention relates to a method for treating B-cell regulated autoimmune disorders using compds. that modulate the activity of c-Rel. In the examples, it was shown that N-(3-methylbenzylidene)-N'[6-morpholin-4-yl-2-(2-pyridin-2-ylethoxy)-pyrimidin-4-yl]hydrazine inhibited the accumulation of c-Rel in the nucleus and its binding to DNA and enhanced the apoptosis of B cells.

MSTR 2



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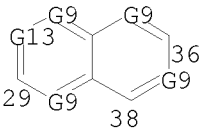

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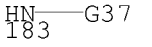
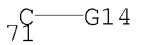
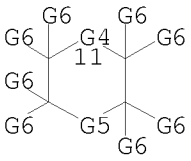


G4 = N
G9 = N / CH
G13 = 71



G29 = 11



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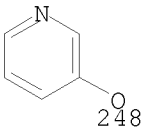
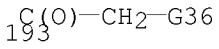


G37 = 193



Patent location: claim 120
Note: substitution is restricted
Note: also incorporates claims 121, 122, and 139

L11 ANSWER 5 OF 42 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 146:20264 MARPAT
TITLE: Method for treating cancer
INVENTOR(S): Bertin, John; Grant, Ethan P.
PATENT ASSIGNEE(S): Synta Pharmaceuticals Corp., USA
SOURCE: PCT Int. Appl., 354pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

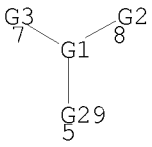
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006128129	A2	20061130	WO 2006-US20821	20060526

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

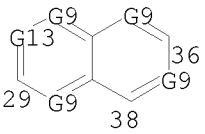
PRIORITY APPLN. INFO.: US 2005-685056P 20050526
US 2005-720357P 20050923

AB The invention relates to a method for treating cancers using compds. that modulate the activity of c-Rel.

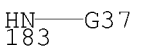
MSTR 2



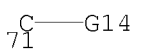
G1 = 29-7 36-8 38-5



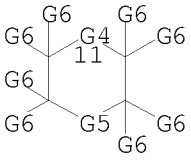
G3 = 183



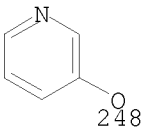
G4 = N
G9 = N / CH
G13 = 71



G29 = 11



G36 = 248



G37 = 193

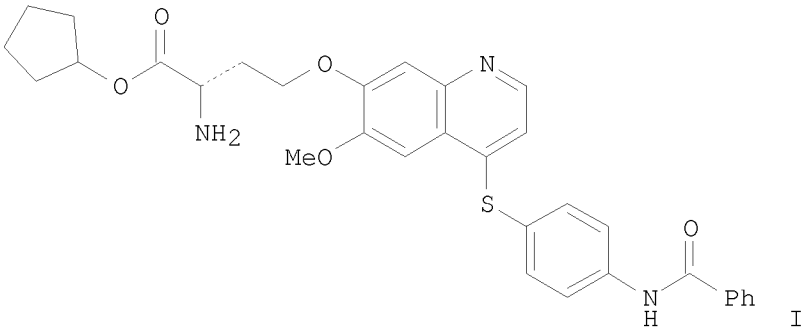
193 C(O)—CH_2—G36

Patent location: claim 118
Note: substitution is restricted
Note: also incorporates claims 119, 120, and 137

L11 ANSWER 6 OF 42 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 145:489566 MARPAT
TITLE: Preparation of quinoline and quinazoline amino acid derivatives as inhibitors of kinase enzymatic activity
INVENTOR(S): Davidson, Alan Hornsby; Davies, Stephen John; Moffat, David Festus Charles
PATENT ASSIGNEE(S): Chroma Therapeutics Ltd., UK
SOURCE: PCT Int. Appl., 205pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006117552	A1	20061109	WO 2006-GB1609	20060504
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006243068	A1	20061109	AU 2006-243068	20060504
CA 2606338	A1	20061109	CA 2006-2606338	20060504
EP 1877383	A1	20080116	EP 2006-726986	20060504
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
MX 200713276	A	20080121	MX 2007-13276	20071024
IN 2007CN04846	A	20080125	IN 2007-CN4846	20071029
KR 2008010400	A	20080130	KR 2007-724927	20071029
CN 101166726	A	20080423	CN 2006-80014682	20071029
PRIORITY APPLN. INFO.:			GB 2005-9227	20050505
			WO 2006-GB1609	20060504

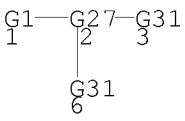
GI



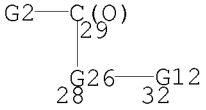
I

AB The invention relates to quinoline and quinazoline linker-attached amino acid derivs. which are inhibitors of kinase enzymic activity. Thus, quinoline derivative I was prepared by a multistep sequence, including etherification of 4-chloro-6-methoxy-7-quinolinol with (S)-4-bromo-2-(tert-butoxycarbonylamino)butyric acid cyclopentyl ester, followed by reaction with N-(4-mercaptophenyl)benzamide. Compound I showed IC50 < 2,000 nM in the aurora-A inhibition assay and IC50 < 1,000 nM for inhibition of cancer cell lines U937, HCT 116 and HUT.

MSTR 1

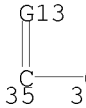


G1 = 32



G3 = 17

G4 = NH
G6 = cyclopropyl
G12 = 35-28 36-2



G13 = O
G14 = NH
G26 = 128

HC——G3
128

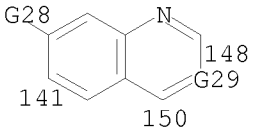
G27 = 257-1 249-6 257-3

G48—G50
257 249

G29 = 152

C——G30
152

G31 = heterocycle <containing up to 12 atoms,
1 or more heteroatoms, zero or more N, zero or more O,
zero or more S (no other heteroatoms), mono- or bicyclic>
(opt. substd.)
G48 = 141-1 148-3 150-249



Patent location: claim 1
Note: or salts, N-oxides, hydrates, or solvates
Note: substitution is restricted

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 7 OF 42 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 145:489563 MARPAT
TITLE: Preparation of quinoline amino acid derivatives as inhibitors of kinase, particularly Aurora kinase, enzymatic activity
INVENTOR(S): Davidson, Alan Hornsby; Drummond, Alan Hastings; Davies, Stephen
PATENT ASSIGNEE(S): Chroma Therapeutics Ltd, UK
SOURCE: PCT Int. Appl., 65pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

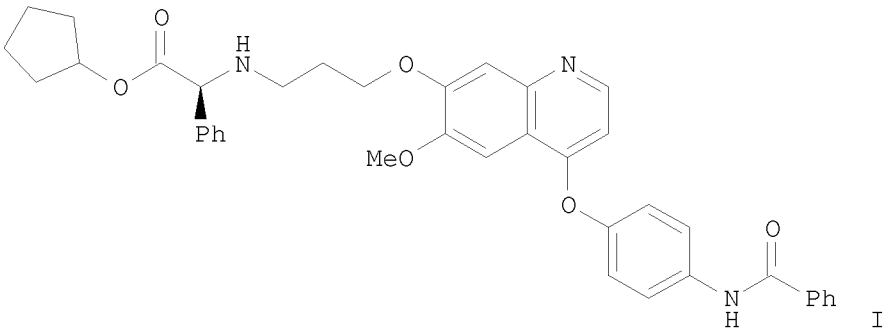
WO 2006117570	A1	20061109	WO 2006-GB1644	20060504	

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: GB 2005-9224 20050505

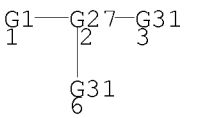
GI



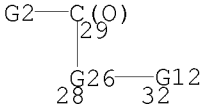
I

AB The invention relates to quinoline linker-attached amino acid derivs. which are inhibitors of kinase enzymic activity. Thus, quinoline derivative I was prepared in 5 steps using 4-chloro-6-methoxy-7-benzyloxyquinoline, N-(4-hydroxyphenyl)benzamide, 1-chloro-3-bromopropane and (S)-phenylglycine cyclopentyl ester. Compound I showed IC50 in the range of 1,000 nM to 5,000 nM in the Aurora-A inhibition assay and IC50 < 1,000 nM for inhibition of U397 cancer cell line.

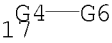
MSTR 1



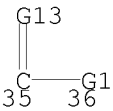
G1 = 32



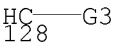
G3 = 17



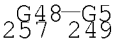
G4 = NH
G6 = cyclopropyl
G12 = 35-28 36-2



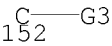
G13 = O
G14 = NH
G26 = 128



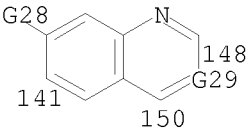
G27 = 257-1 249-6 257-3



G29 = 152



G31 = heterocycle <containing up to 12 atoms,
1 or more heteroatoms, zero or more N, zero or more O,
zero or more S (no other heteroatoms), mono- or bicyclic>
(opt. substd.)
G48 = 141-1 148-3 150-249



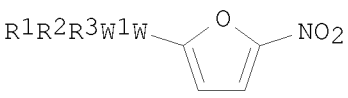
Patent location: claim 1
Note: or salts, N-oxides, hydrates, or solvates
Note: substitution is restricted

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

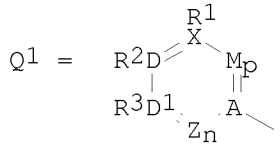
L11 ANSWER 8 OF 42 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 144:350707 MARPAT
TITLE: Preparation of nitrofurans as antibacterials.
INVENTOR(S): Chamberland, Suzanne; Malouin, Francois
PATENT ASSIGNEE(S): Ulysses Pharmaceutical Products Inc., Can.
SOURCE: PCT Int. Appl., 82 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

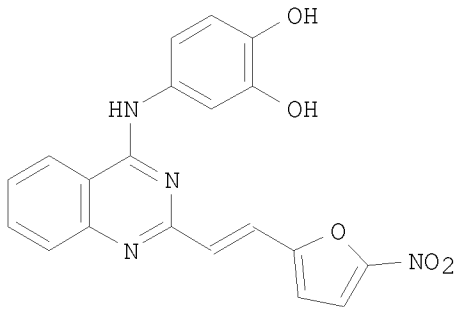
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006032138	A1	20060330	WO 2005-CA1436	20050922
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005287825	A1	20060330	AU 2005-287825	20050922
CA 2579907	A1	20060330	CA 2005-2579907	20050922
EP 1797087	A1	20070620	EP 2005-788612	20050922
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 101027299	A	20070829	CN 2005-80032134	20050922
JP 2008513522	T	20080501	JP 2007-532734	20050922
BR 2005015564	A	20080729	BR 2005-15564	20050922
MX 200703374	A	20070605	MX 2007-3374	20070322
IN 2007DN02248	A	20070803	IN 2007-DN2248	20070322
US 20080188499	A1	20080807	US 2007-575862	20071107
PRIORITY APPLN. INFO.:			US 2004-612148P	20040923
			WO 2005-CA1436	20050922

GI



I

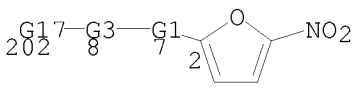




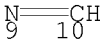
II

AB Title compds. (I; W = null, CH:CH, N:CH; W1 = null, or together with R1, R2, R3 = Q1; D, D1, X, M, A, Z = CH, C, O, S, NH, N; n, p = 0-2; R1-R3 = null, H, OH, halo, Me, alkyl, alkenyl, alkynyl, alkoxy, alkenyloxy, alkynyloxy, aryl, CF3, PhO, etc.; with provisos), were prepared Thus, title compound (II) (preparation outlined) showed a min. inhibitory concentration of 0.5
μg/mL against E. coli ATCC 25922.

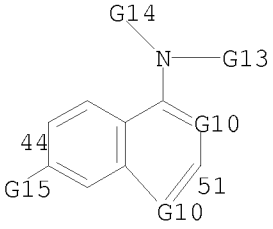
MSTR 1



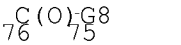
G1 = 9-8 10-2



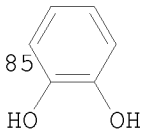
G2 = NH
G3 = 44-202 51-7



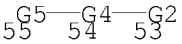
G4 = 76-53 75-55



G5 = 85



G8 = (1-10) CH2
G10 = N / CH
G17 = 53



Patent location: claim 1
Note: or pharmaceutically acceptable salts

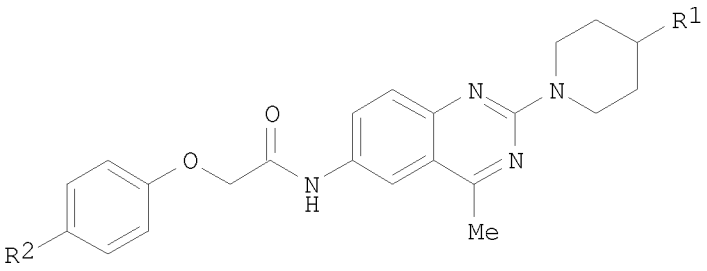
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 9 OF 42 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 144:88306 MARPAT
TITLE: Preparation of quinazoline derivatives for treatment of MCH-related disease
INVENTOR(S): Frimurer, Thomas Michael; Ulven, Trond; Hoegberg, Thomas; Noerregaard, Pia Karina; Little, Paul Brian; Receveur, Jean Marie
PATENT ASSIGNEE(S): 7TM Pharma A/S, Den.
SOURCE: PCT Int. Appl., 19 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005123714	A1	20051229	WO 2004-EP6539	20040616

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,

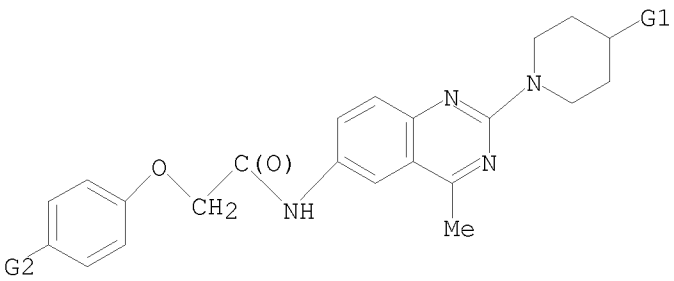
SN, TD, TG
PRIORITY APPLN. INFO.: WO 2004-EP6539 20040616
OTHER SOURCE(S): CASREACT 144:88306
GI



I

AB Title compds. represented by the formula I [wherein R1 = NH2, cyclopropylmethylamino, piperidinyl, etc.; R2 = Cl, Me, CF3 or CF3O; and pharmaceutically or veterinarily acceptable salts, hydrates or solvates thereof] were prepared as Melanin Concentrating Hormone (MCH) ligands. For example, II, I (R1 = pyrrolidino, R2 = CF3O), was provided in a multi-step synthesis starting from 4-methyl-1H-quinazolin-2-one. I showed IC50 of 25 nM or less with human MCH-1 receptor in the radioligand binding assay. Thus, I and their pharmaceutical and veterinary compns. are useful as Melanin Concentrating Hormone (MCH) ligands for the treatment of obesity and other MCH-related diseases (no data).

MSTR 1



Patent location: claim 1
Note: or salts, hydrates, or solvates

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

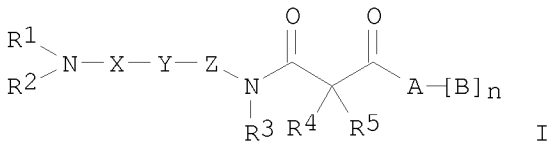
L11 ANSWER 10 OF 42 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 143:305940 MARPAT
TITLE: Preparation of β-ketoamide derivatives as antagonists of MCH receptor
INVENTOR(S): Roth, Gerald-Juergen; Lustenberger, Philipp; Schindler, Marcus; Thomas, Leo; Stenkamp, Dirk; Mueller, Stephan Georg; Lehmann-Lintz, Thorsten;

Santagostino, Marco; Lotz, Ralf Richard Hermann
PATENT ASSIGNEE(S): Boehringer Ingelheim International G.m.b.H., Germany; Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G.
SOURCE: PCT Int. Appl., 138 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

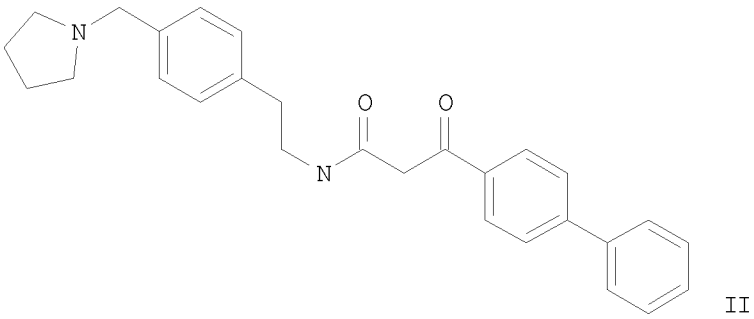
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005085221	A1	20050915	WO 2005-EP2132	20050301
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 102004010893	A1	20050922	DE 2004-102004010893	20040306
CA 2552907	A1	20050915	CA 2005-2552907	20050301
EP 1730130	A1	20061213	EP 2005-715624	20050301
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2007527424	T	20070927	JP 2007-501195	20050301
US 20050245500	A1	20051103	US 2005-71797	20050303

PRIORITY APPLN. INFO.: DE 2004-102004010893 20040306
US 2004-554229P 20040318
WO 2005-EP2132 20050301

GI



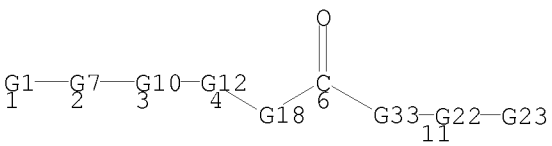
I



II

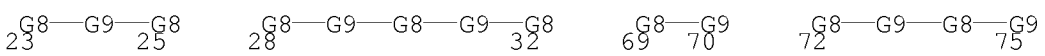
AB Title compds. I [R1 and R2 independently = H, (un)substituted alkyl, cycloalkyl, etc. or R1 and R2 together form alkylene bridge in which one or two CH2 groups may be substituted by either O, S, CO, etc.; R3 = H, alkyl, phenylalkyl, etc.; X = alkylene bridge in which one or two non-neighboring CH2 groups may be substituted by either O, S, CO, etc.; Z = single bond or CR6R7CR8R9; A, B and Y independently = Ph, (un)saturated carbocycle, heterocycle, etc.; n = 0-1; R4 and R5 independently = H, CF3, F, etc.; R6 and R8 independently = H, Cl, alkyl, etc.; R7 and R9 independently = H, F, cycloalkyl, etc.] and their pharmaceutically acceptable salts, are prepared and disclosed as antagonists of MCH receptors. Thus, e.g., II was prepared by subsequent couplings of 4-acetylbiphenyl with di-Et carbonate and 2-[4-(pyrrolidin-1-yl-methyl)-phenyl]-ethylamine. The antagonistic activity of II was evaluated in a MCH-1 receptor binding assay and it was revealed that this compound possesses an IC50 value of 63.7 nM. I as antagonist of MCH receptor should prove useful in the treatment of diseases such as but not limited to diabetes, obesity and bulimia. Pharmaceutical compns. comprising I are disclosed.

MSTR 1

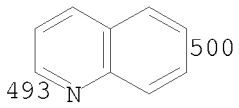


G7 = 23-1 25-3 / 28-1 32-3 / 69-1 70-3 /

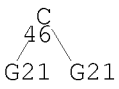
72-1 75-3



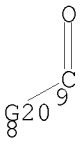
G9 = NH
G10 = 493-2 500-4



G12 = bond
G18 = NH
G20 = 46



G22 = phenylene (opt. substd. by G32)
G33 = 8-6 9-11



Patent location: claim 1
Note: additional ring formation also claimed
Note: and tautomers and salts
Note: substitution is restricted
Note: also incorporates claim 32, structure B1
Stereochemistry: and diastereomers, enantiomers, and mixtures

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

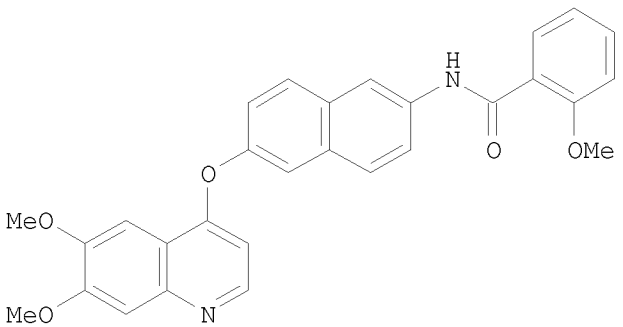
L11 ANSWER 11 OF 42 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 143:211847 MARPAT
TITLE: Preparation of heteroaryl substituted naphthalenes as inhibitors of Lck, VEGFR and/or HGF related activity
INVENTOR(S): Potashman, Michele; Kim, Tae-Seong; Bellon, Steven; Booker, Shon; Cheng, Yuan; Kim, Joseph L.; Tasker, Andrew; Xi, Ning; Xu, Shimin; Harmange, Jean-Christophe; Borg, George; Weiss, Matthew; Hodous, Brian L.; Graceffa, Russell; Buckner, Willian H.; Masse, Craig E.; Choquette, Deborah; Martin, Matthew W.; Germain, Julie; Dipietro, Lucian V.; Chaffee, Stuart C.; Nunes, Joseph J.; Buchanan, John L.; Habgood, Gregory J.; McGowan, David C.; Whittington,

	Douglas A.
PATENT ASSIGNEE(S):	Amgen Inc., USA
SOURCE:	PCT Int. Appl., 444 pp.
	CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005070891	A2	20050804	WO 2005-US2326	20050124
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005206571	A1	20050804	AU 2005-206571	20050124
CA 2553423	A1	20050804	CA 2005-2553423	20050124
EP 1713484	A2	20061025	EP 2005-722533	20050124
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU				
US 20060241115	A1	20061026	US 2005-42634	20050124
CN 1933839	A	20070321	CN 2005-80006839	20050124
BR 2005007373	A	20070710	BR 2005-7373	20050124
JP 2007518824	T	20070712	JP 2006-551404	20050124
MX 2006PA08327	A	20060929	MX 2006-PA8327	20060721
IN 2006CN02683	A	20070608	IN 2006-CN2683	20060721
NO 2006003693	A	20061023	NO 2006-3693	20060817
PRIORITY APPLN. INFO.:			US 2004-538691P	20040123
			WO 2005-US2326	20050124

GI



II

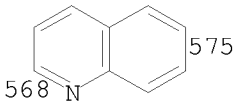
AB The title compds. I [R1XAYR; R = (un)substituted aryl, heterocyclyl, cycloalkyl, etc.; R1 = (un)substituted quinolinyl, quinazolinyl,

pyrimidinyl, etc.; A = (un)substituted naphthalenediyl, etc.; X = O, S, (un)substituted NH, CH2; Y = NHCO, CONH, etc.] which are effective for prophylaxis and treatment of diseases, such as HGF mediated diseases, were prepared E.g., a multi-step synthesis of II, starting from 6-hydroxy-2-naphthoic acid, was given. The compds. I showed inhibition of LcK kinase, c-Met kinase, and VEGFR kinase at less than 10 μM. The invention encompasses novel compds. I, analogs, prodrugs and pharmaceutically acceptable salts thereof, pharmaceutically compns. and methods for prophylaxis and treatment of diseases and other maladies or conditions involving, cancer and the like.

MSTR 1

G2—G10—G9—G15—G1
2 3 4 5

G1 = Ph (opt. substd. by 1 or more G20)
G9 = 568-2 575-4



G10 = 258

N—G11
258

G15 = 269-3 271-5

HN—C(O)—G16
269 271

G16 = (1-2) CH2
Patent location: claim 1
Note: and pharmaceutically acceptable derivatives
Note: substitution is restricted

L11 ANSWER 12 OF 42 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 142:392434 MARPAT
TITLE: Preparation of N-containing heterocyclic derivatives as MCH receptor modulators
INVENTOR(S): Dyke, Hazel Joan; Cramp, Susan Mary; Clark, David Edward
PATENT ASSIGNEE(S): Argenta Discovery Ltd., UK
SOURCE: PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005035526	A1	20050421	WO 2004-GB4329	20041011

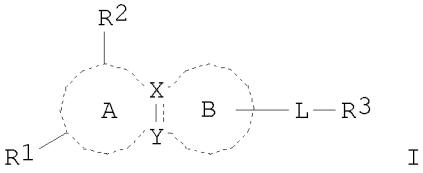
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

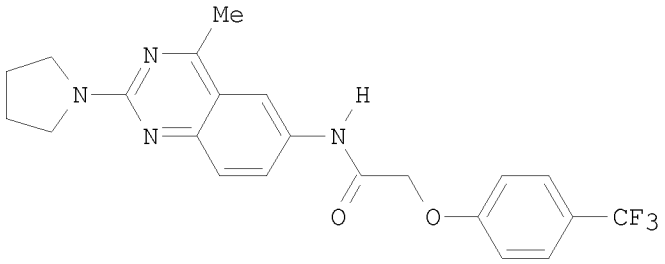
PRIORITY APPLN. INFO.: GB 2003-23692 20031009
GB 2004-461 20040109

OTHER SOURCE(S): CASREACT 142:392434

GI



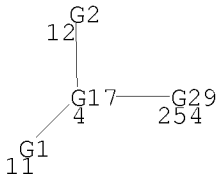
I



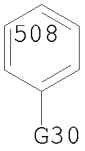
II

AB Title compds. I [X, Y independently = N, C; R1 = (un)substituted-aryl, -heteroaryl, -aryl-fused-cycloalkyl, etc.; R2 = H, alkyl, R4, etc.; R3 = (un)substituted-aryl, -heteroaryl, -heteroaryl-fused-cycloalkyl, etc.; R4 = halo, CN, OR5, etc.; R5 = H, alkyl, haloalkyl, etc.] and their pharmaceutically acceptable salts, are prepared and disclosed as modulators of MCH receptors. Thus, e.g., II was prepared by carbonylation of 6-amino-4-methyl-2-(1-pyrrolidino)quinazoline (preparation given) with 4-trifluoromethylphenoxyacetic acid. The activity of I was evaluated using a Ca2+ mobility assay and IC50 values were extracted (no data given). I as MCH receptor modulators should prove useful in the treatment of obesity.

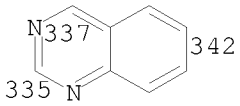
MSTR 1



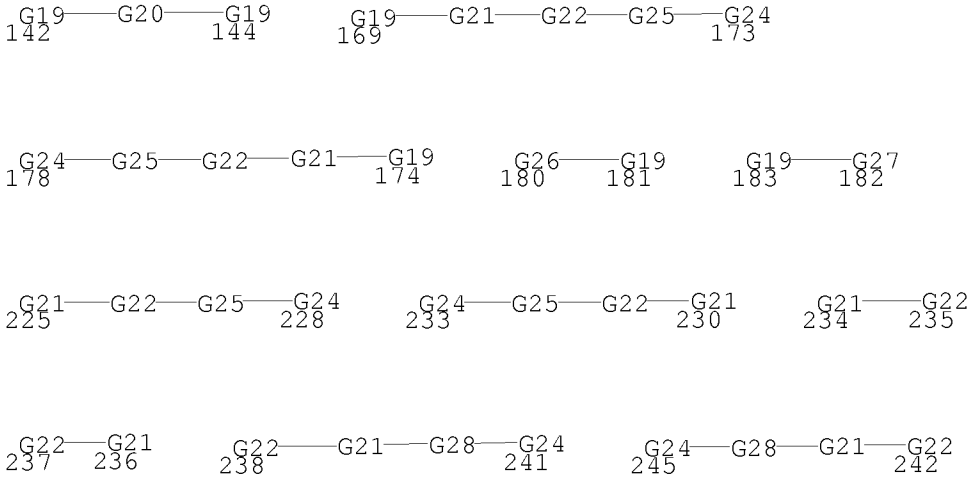
G1 = imidazolyl
G4 = 508



G17 = 335-11 337-12 342-254



G18 = 142-4 144-69 / 169-4 173-69 / 178-4 174-69 /
180-4 181-69 / 183-4 182-69 / 225-4 228-69 /
233-4 230-69 / 234-4 235-69 / 237-4 236-69 /
238-4 241-69 / 245-4 242-69 / 248-4 250-69 /
253-4 251-69



```
 G21---G28---G24     G24---G28---G21
248         250     253         251
```

```
G19    = alkylene <containing 1-2 C, unbranched>
G20    = 145-142 146-144   / 148-142 147-144   /
         151-142 154-144   / 158-142 155-144   / 163-142 165-144   /
         168-142 166-144
```

```
 G21---G22     G22---G21     G22---G21---G28---G24
145   146     148   147     151               154
```

```
 G24---G28---G21---G22     G21---G28---G24     G24---G28---G21
158               155     163         165     168         166
```

```
G21    = C(O)
G22    = NH
G26    = 184-4 185-181   / 187-4 186-181   /
         188-4 191-181   / 195-4 192-181   / 198-4 200-181   /
         203-4 201-181
```

```
 G21---G22     G22---G21     G22---G21---G28---G24
184   185     187   186     188               191
```

```
 G24---G28---G21---G22     G21---G28---G24     G24---G28---G21
195               192     198         200     203         201
```

```
G27    = 204-183 205-69   / 207-183 206-69   /
         208-183 211-69   / 215-183 212-69   / 218-183 220-69   /
         223-183 221-69
```

```
 G21---G22     G22---G21     G22---G21---G28---G24
204   205     207   206     208               211
```

```
 G24---G28---G21---G22     G21---G28---G24     G24---G28---G21
215               212     218         220     223         221
```

```
G29    = 10
```

```
 G18---G4
10    69
```

Patent location: claim 1
Note: and N-oxides, pharmaceutically acceptable salts, solvates and prodrugs
Note: additional substitution of alkyl in G8 also claimed

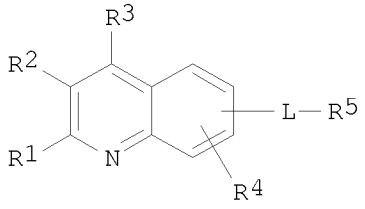
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 13 OF 42 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 142:392308 MARPAT
TITLE: Preparation of quinoline derivatives as MCH-1R receptor modulators
INVENTOR(S): Dyke, Hazel Joan; Cramp, Susan Mary; Wren, Stephen Paul; Newton, Christopher Gregory
PATENT ASSIGNEE(S): Argenta Discovery Ltd., UK
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005035521	A1	20050421	WO 2004-GB4304	20041011

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2003-23690 20031009
GB 2004-460 20040109
OTHER SOURCE(S): CASREACT 142:392308
GI



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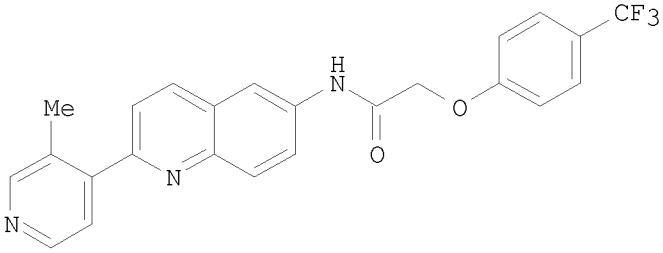
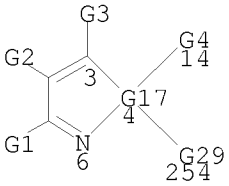


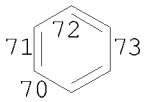
II

AB Title compds. I [R1 = (un)substituted-aryl, -heteroaryl, -aryl-fused-cycloalkyl, etc.; R2 = H, halo, alkyl, etc.; R3 = H, alkyl, R6, etc.; R4 = H, CN, haloalkyl, etc.; R5 = (un)substituted-aryl, -heteroaryl, -aryl-fused-heterocycloalkyl, etc.; R6 = halo, CN, CF3, etc.; L = -(CH2)q-, -(CH2)nSO2(CH2)m-, -(CH2)n-, etc.; q = 0-5; n = 0-2; m = 0-2] and their pharmaceutically acceptable salts, are prepared and disclosed as useful modulators of MCH-1R receptors. Thus, e.g., II was prepared by Suzuki coupling of N-(2-chloro-4-methylquinolin-6-yl)2-(4-trifluoromethylphenoxy)acetamide (preparation given) with 4-pyridylboronic acid. The IC50 values of I were evaluated in Ca2+ mobilization assays and the compds. of the invention exhibited useful activity (no data given). I as MCH-1 receptor modulator should prove useful in the treatment of diseases such as but not limited to obesity, diabetes, and myocardial infarction.

MSTR 1



G1 = pyridyl / imidazolyl
G17 = 71-3 70-6 72-14 73-254



G18 = 142-4 144-69 / 169-4 173-69 / 178-4 174-69 /
180-4 181-69 / 183-4 182-69 / 225-4 228-69 /
233-4 230-69 / 234-4 235-69 / 237-4 236-69 /
238-4 241-69 / 245-4 242-69 / 248-4 250-69 /
253-4 251-69

G19——G20——G19 G19——G21——G22——G25——G24
142 144 169 173

G24——G25——G22——G21——G19 G26——G19 G19——G27
178 174 180 181 183 182

G21——G22——G25——G24 G24——G25——G22——G21 G21——G22
225 228 233 230 234 235

G22——G21 G22——G21——G28——G24 G24——G28——G21——G22
237 236 238 241 245 242

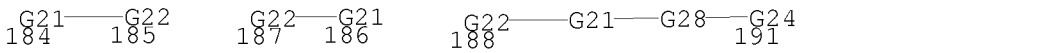
G21——G28——G24 G24——G28——G21
248 250 253 251

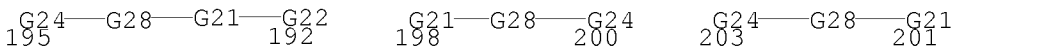
G19 = alkylene <containing 1-2 C, unbranched>
G20 = 145-142 146-144 / 148-142 147-144 /
151-142 154-144 / 158-142 155-144 / 163-142 165-144 /
168-142 166-144

G21——G22 G22——G21 G22——G21——G28——G24
145 146 148 147 151 154

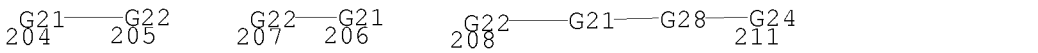
G24——G28——G21——G22 G21——G28——G24 G24——G28——G21
158 155 163 165 168 166

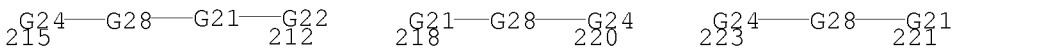
G21 = C(O)
G22 = NH
G26 = 184-4 185-181 / 187-4 186-181 /
188-4 191-181 / 195-4 192-181 / 198-4 200-181 /
203-4 201-181





G27 = 204-183 205-69 / 207-183 206-69 /
208-183 211-69 / 215-183 212-69 / 218-183 220-69 /
223-183 221-69





G29 = 10

Patent location: claim 1
Note: and N-oxides, pharmaceutically acceptable salts, solvates and prodrugs

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 14 OF 42 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 141:296051 MARPAT
TITLE: Preparation of benzoazine mono-N-oxides, benzoazine-1,4-dioxides, and related analogs as hypoxia-selective drugs and radiosensitizers in cancer therapy
INVENTOR(S): Wilson, William Robert; Pruijn, Frederik Bastiaan; Siim, Bronwyn Gae; Hay, Michael Patrick; Denny, William Alexander; Gamage, Swarnalatha Akuratiya
PATENT ASSIGNEE(S): Auckland Uniservices Limited, N. Z.
SOURCE: U.S. Pat. Appl. Publ., 88 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040192686	A1	20040930	US 2004-766942	20040130
JP 2005047806	A	20050224	JP 2003-202818	20030729
CA 2456569	A1	20040914	CA 2004-2456569	20040129

AU 2004200491 A1 20040930 AU 2004-200491 20040130
EP 1468688 A2 20041020 EP 2004-251451 20040312
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR
PRIORITY APPLN. INFO.: NZ 2003-524770 20030314
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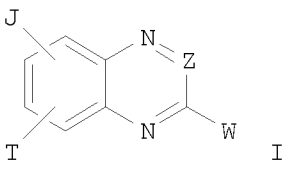

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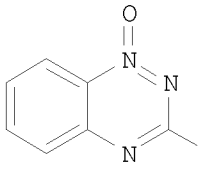


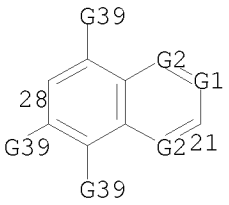
II

AB The present invention relates to a synergistic composition comprising one or more benzoazine-mono-N-oxides and/or benzoazine-1,4-dioxides I [wherein Z = N, C(CN); J = H, halo, OH, NO2, SH, CF3, CN, CHO, (un)substituted aryl(oxy), amino, carboxy, aryoyl, carboxamido, heterocyclyl, etc.; W = H, halo, XA, etc.; T = XAE; X = O, S, NH, NMe, CH2, SO, SO2, CONH, NHCO, CO, CO2; A = H, (un)substituted alkyl, etc.; E = DNA targeting unit of MW <700 Daltons with K >10-3 M-1 at an ionic strength of 0.01 M at 20°; and I = 1-, 2-, or 4-oxide, 1,4-dioxide] for use in cancer therapy. These can be used as potentiators of the cytotoxicity of existing anticancer drugs and therapies for cancer treatment. Examples include the prepns. for 173 invention compds. and detailed anal. of seven bioassays. Thus, reaction of 2-nitroaniline and cyanamide in the presence of HCl, followed by cyclization of the guanidine intermediate (no data) with NaOH gave 1,2,4-benzotriazin-3-amine-1-oxide (SR4317) II in 88% yield. The latter markedly increased the cytotoxicity of tirapazamine (TPZ) to hypoxic HT29 human colon carcinoma cells without potentiating the aerobic toxicity of TPZ. II also demonstrated selective potentiation of the hypoxic cytotoxicity of TPZ against hypoxic radio-resistant cells in HT29 tumors.

MSTR 1

G1 = 11

G2 = N
G3 = 28-17 21-19



G5 = NH2 / 101

G15—G38—G16
101 103

G16 = 4-pyridyl (opt. substd.)
G17 = 469

G23—G16
469 138

G23 = 470-18 471-138

G47—G48
470 471

G47 = 141-18 142-471

HN——C(O)
141 142

G48 = alkylene <containing 1-12 C> (opt. substd.)
Patent location: claim 1
Note: substitution is restricted
Note: or pharmacologically acceptable salts
Note: further derivatization also claimed

L11 ANSWER 15 OF 42 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 141:71564 MARPAT
TITLE: Preparation of (piperazinyl)quinoline derivatives for treatment of MCH receptor related disorders
INVENTOR(S): Frimurer, Thomas Michael; Ulven, Trond; Hoegberg, Thomas; Norregaard, Pia Karina; Little, Paul Brian; Receveur, Jean-Marie
PATENT ASSIGNEE(S): 7TM Pharma A/S, Den.
SOURCE: PCT Int. Appl., 162 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004052371	A2	20040624	WO 2003-DK858	20031211
WO 2004052371	A3	20040819		
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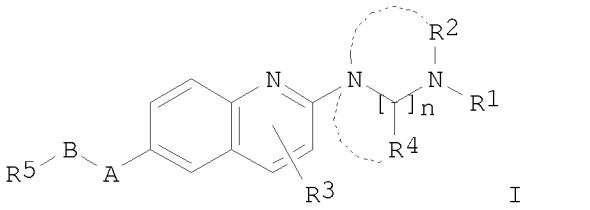
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003287880	A1	20040630	AU 2003-287880	20031211
PRIORITY APPLN. INFO.:			DK 2002-1900	20021211
			WO 2003-DK858	20031211

GI



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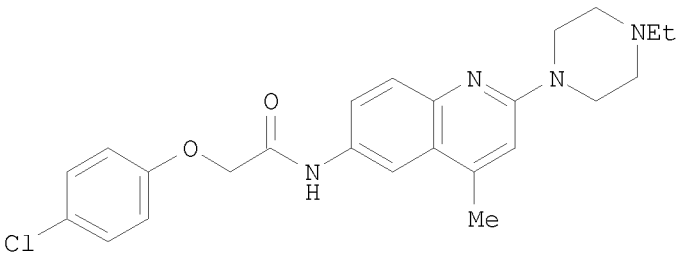
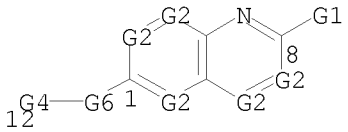


II

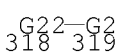
AB The present invention relates to the use of cyclic quinoline compds. for the preparation of a pharmaceutical and/or a cosmetic composition for the treatment, prophylaxis and/or diagnosis of a condition caused by or involving a melanin-concentrating hormone. Title compds. I [wherein the quinoline moiety may contain more than one nitrogen atom; A = -C(R7)=C(R7)CON(R7)-, -BCON(R7)-, -BN(R7)CO-, etc.; R7 = H, alkyl, alkenyl; B = (hetero)aryl; R1-R2, R4 = may optionally be linked to each other, or to be the carbon chain liking the two nitrogen atoms; R3 = H or alkyl; R5 = H, halo, (cyclo)alkyl, alkenyl, alkynyl, etc.; n = 2 or 3; and physiol. acceptable salt, complex, solvate or prodrug thereof] were prepared For example, II was given in 4-steps synthesis starting from 2-(4-ethylpiperazin-1-yl)-4-methylquinoline. II showed inhibition of HERG channels with Ki values

between 1-5 μM. I also have been found to interact with a melanin-concentrating hormone receptor, a MCH receptor. I have modulating activity on the MCH receptor such as e.g. antagonistic, agonistic or allosteric activity and are useful for medicinal or cosmetic purposes such as, e.g. in the treatment or prevention of feeding disorders like obesity, metabolic syndrome, Type II diabetes, bulimia etc. or in the treatment or prevention of depression.

MSTR 1

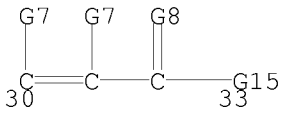


G1 = 318

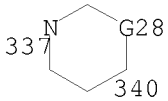


G2 = 13

G4 = Ph (opt. substd. by 1 or more G5)
G6 = 30-12 33-1



G8 = O
G15 = NH
G22 = 337-8 340-319



G28 = bond
Patent location: claim 1
Note: substitution is restricted
Note: additional derivatization also claimed

L11 ANSWER 16 OF 42 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 141:71458 MARPAT

TITLE: Preparation of quinoline compounds for use in MCH receptor related disorders
INVENTOR(S): Frimurer, Thomas Michael; Ulven, Trond; Hoegberg, Thomas; Norregaard, Pja Karina; Little, Paul Brian; Receveur, Jean-Marie
PATENT ASSIGNEE(S): 7TM Pharma A/S, Den.
SOURCE: PCT Int. Appl., 217 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004052370	A2	20040624	WO 2003-DK857	20031211
WO 2004052370	A3	20040819		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2508681	A1	20040624	CA 2003-2508681	20031211
AU 2003287878	A1	20040630	AU 2003-287878	20031211
EP 1572212	A2	20050914	EP 2003-779716	20031211
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 20060111357	A1	20060525	US 2005-538455	20050902
PRIORITY APPLN. INFO.:			DK 2002-1900	20021211
			WO 2003-DK857	20031211

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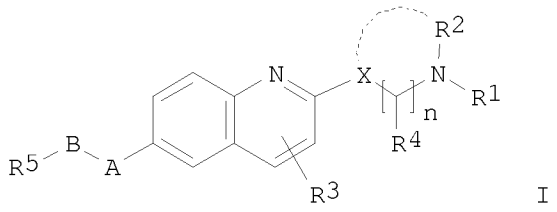

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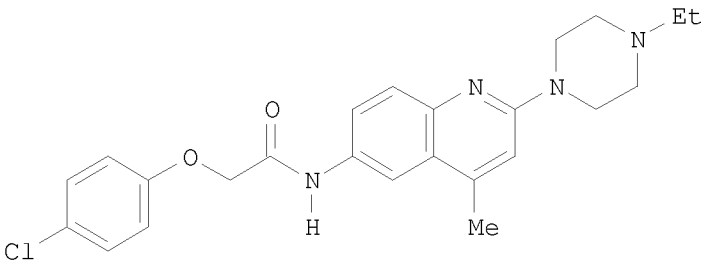
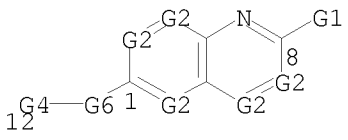


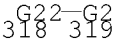
II

AB The present invention relates to the use of quinoline compds. I [A = CR7:CR7CONR7, YCR7CONR7, CONR7CONR7, etc. (wherein Y = CHR7, O, S, NR7; R7 = H, alkyl, alkenyl; R7 can be linked direct or via heteroatoms to B or the quinoline ring system when chemical feasible); X = N, C, O, S and X being restricted to N or C when linked to R2; B = (hetero)aryl; R1, R2 = H, alkyl, cycloalkyl, etc.; R4 = H, alkyl; R3 = H, alkyl, halo, etc.; R1, R2, R3 or R4 may optionally be linked to each other, or to the carbon chain linking the two N atoms, when possible, and O or NR1 may be inserted in the chain or ring; R4 may optionally be linked to X; R5 = H, halo, alkyl, etc.; n = 0-3; with provisos] for the preparation of a pharmaceutical and/or a cosmetic composition for the treatment, prophylaxis and/or diagnosis of a condition caused by or involving a melanin-concentrating hormone. The invention also relates to novel quinoline compds. per se. The synthesis of the compds. I and their intermediates is described in 184 synthetic examples. E.g., a 4-step synthesis of II, starting from 2-chlorolepidine and N-ethylpiperazine, which showed IC50 of 20 nM against MCH-1 receptor binding, was given. The quinoline compds. I have been found to interact with a melanin-concentrating hormone receptor, a MCH receptor. The compds. I have modulating activity on the MCH receptor such as e.g. antagonistic, agonistic or allosteric activity and are useful for medicinal or cosmetic purposes such as, e.g. in the treatment or prevention of feeding disorders like obesity, metabolic syndrome, Type II diabetes, bulimia, etc. or in the treatment or prevention of depression.

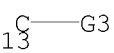
MSTR 1



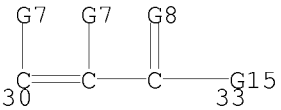
G1 = 318



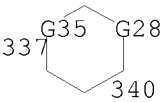
G2 = 13



G4 = Ph (opt. substd. by 1 or more G5)
G6 = 30-12 33-1



G8 = O
G15 = NH
G22 = 337-8 340-319



G28 = bond
G35 = N
Patent location: claim 1
Note: substitution is restricted
Note: additional derivatization also claimed

L11 ANSWER 17 OF 42 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 140:339343 MARPAT
TITLE: Cyclocondensation method for synthesizing 3-amino-1,2,4-benzotriazines from guanidine salts and nitrobenzenes in the presence of a base
INVENTOR(S): Moskalev, Nikolai V.; Gribble, Gordon W.
PATENT ASSIGNEE(S): Trustees of Dartmouth College, USA
SOURCE: PCT Int. Appl., 10 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004034023	A2	20040422	WO 2003-US31988	20031008
WO 2004034023	A3	20040826		

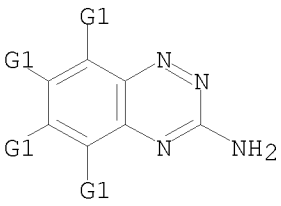
W: CA, JP, US
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR

US 20060142569	A1	20060629	US 2005-528090	20050922
US 7129349	B2	20061031		
PRIORITY APPLN. INFO.:			US 2002-417569P	20021010
			WO 2003-US31988	20031008

OTHER SOURCE(S): CASREACT 140:339343

AB 3-Amino-1,2,4-benzotriazines (e.g., 3-amino-1,2,4-benzotriazine; m.p. 203-205°; 72% yield) are prepared in high yield and selectivity by the cyclocondensation reaction of guanidine salts (e.g., guanidine hydrochloride) with nitrobenzenes (e.g., nitrobenzene) in the presence of a base (e.g., potassium tert-butoxide). The method is carried out at a moderate reaction temperature without producing halide wastes derived from nucleophilic substitution and acid byproducts.

MSTR 2



G1 = 16

HN——C(O)-G3
16

G2 = pyrrolidino
G3 = alkyl <containing 1-3 C>
(opt. substd. by 1 or more G2)
Patent location: disclosure

L11 ANSWER 18 OF 42 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 140:42036 MARPAT
TITLE: Preparation of pyridino-fused heterocycles useful for the treatment of obesity, type II diabetes and CNS disorders
INVENTOR(S): Johansson, Gary; Jenmalm-Jensen, Annika; Beierlein, Katarina
PATENT ASSIGNEE(S): Biovitrum AB, Swed.
SOURCE: PCT Int. Appl., 187 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

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             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
             PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,
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         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
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     AU 2003243091     A1   20040106       AU 2003-243091   20030619
     US 20040024210    A1   20040205       US 2003-465034   20030619
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     BR 2003011952     A    20050419       BR 2003-11952    20030619
     CN 1662521        A    20050831       CN 2003-814432   20030619
     JP 2005536551     T    20051202       JP 2004-530936   20030619
     ZA 2004009030     A    20060222       ZA 2004-9030     20030619
     CN 1907982        A    20070207       CN 2006-10108036 20030619
     NZ 536600         A    20070831       NZ 2003-536600   20030619
     CN 101081845      A    20071205       CN 2006-10101528 20030619
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     MX 2004PA12914    A    20050331       MX 2004-PA12914  20041217
     IN 2004CN03052    A    20060217       IN 2004-CN3052   20041231
     NO 2005000294     A    20050204       NO 2005-294      20050119
     IN 2007CN02849    A    20071012       IN 2007-CN2849   20070627
     IN 2007CN04830    A    20080321       IN 2007-CN4830   20071029
PRIORITY APPLN. INFO.:                      SE 2002-1925     20020620
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                                            US 2002-434010P  20021217
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OTHER SOURCE(S):         CASREACT 140:42036
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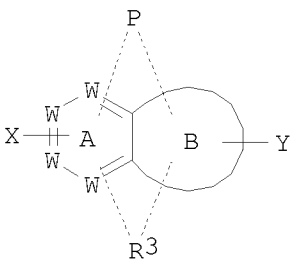

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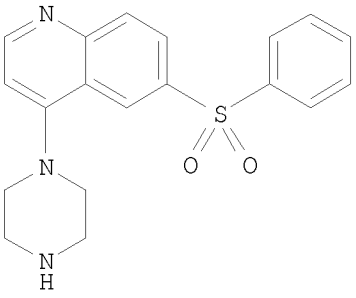
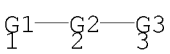


II

AB Title compds. I [ring B = same as ring A, 5-membered (un)substituted heterocycle/heteroaryl; W = N, CH, C provided that not more than 3 W groups are N in both rings A, B together; P = aminosulfonyl, sulfonamido, etc.; X, Y = H, halo, alkyl, CF3, etc.; R3 = piperazinyl, etc.] are prepared For instance, 6-benzenesulfonyl-4-chloroquinoline is reacted with piperazine (CH3CN, 80°, overnight) to give II isolated as the HCl salt. II has Ki = 10 nM for the human 5-HT6 receptor. I are useful for the treatment of conditions relating to obesity, type II diabetes and CNS disorders.

MSTR 1



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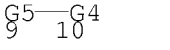

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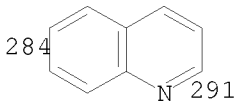

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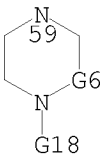
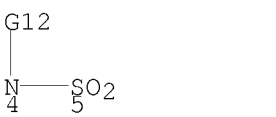
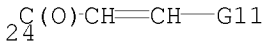


G5 = 4-2 5-10



G11 = Ph (opt. substd.)
G12 = 24



Patent location: claim 1
Note: or pharmaceutically acceptable salts
Note: substitution is restricted

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 19 OF 42 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 139:323539 MARPAT
TITLE: Preparation of nitrogenous heterocyclic compounds as sodium channel blockers
INVENTOR(S): Ozaki, Fumihiro; Ono, Mutsuko; Kawano, Koki; Norimine, Yoshihiko; Onogi, Tatsuhiro; Yoshinaga, Takashi; Kobayashi, Kiyoaki; Suzuki, Hiroyuki; Minami, Hiroe; Sawada, Kohei
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: PCT Int. Appl., 401 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003084948	A1	20031016	WO 2003-JP3064	20030314
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20040167224	A1	20040826	US 2003-388185	20030312
US 6995144	B2	20060207		
CA 2477839	A1	20031016	CA 2003-2477839	20030314
AU 2003213361	A1	20031020	AU 2003-213361	20030314
AU 2003213361	B2	20061221		
EP 1484327	A1	20041208	EP 2003-708607	20030314
EP 1484327	B1	20070801		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

CN 1630650	A	20050622	CN 2003-805850	20030314
TW 256390	B	20060611	TW 2003-92105672	20030314
AT 368655	T	20070815	AT 2003-708607	20030314
US 20050245527	A1	20051103	US 2005-173099	20050701
US 7265108	B2	20070904		
US 20070293496	A1	20071220	US 2007-880756	20070723

PRIORITY APPLN. INFO.:

JP 2002-69529	20020314
US 2003-388185	20030312
WO 2003-JP3064	20030314
US 2005-173099	20050701

AB The title compds. such as (piperidinomethyl)pyrazine and (piperidinomethyl)pyrimidine and (piperidinomethyl)pyridine derivs. represented by the general formula A1-X1-X2-Z1-X3-X4-A2, salts thereof, or hydrates of either: [wherein X1, X2 = a single bond, each (un)substituted C1-6 alkylene, C3-8 cycloalkylene, monocyclic 4- to 8-membered nonarom. heterocyclic ring, C2-6 alkenylene, C2-6 alkynylene, CONH, NHCO, SO2 NH, NH SO2, or, NH, O, CO, S, SO, SO2; X3, X4 = groups listed in X1 and X2, (un)substituted C(:NOH) or 5- to 10-membered aromatic heterocyclic ring; Z1 = (un)substituted mono or bicyclic 4- to 12-membered nonarom. heterocyclic ring containing at least one N atom; A2 = each (un)substituted Ph, 1- or 2-naphthyl, 5- to 10-membered aromatic heterocyclic ring, 9- to 11-membered benzene-fused ring, or 9- to 11-membered aromatic heterocyclic ring-fused ring; A1 = C(:Q1), 5- to 7-membered heterocyclic ring containing N atom, Q2, Q3 (wherein Q1 = O, S, optionally N-C1-6 alkyl-substituted NH; R21 = H, C1-6 alkyl; m = 0, 1)] are prepared These compds. are useful as analgesics and for prevention and treatment of (1) neuralgia including diabetic neuralgia, HIV neuralgia, post-herpes zoster neuralgia, trigeminal neuralgia, stump neuralgia, post-spinal cord injury neuralgia, thalamus neuralgia, and post-stroke neuralgia, and (2) lumbago (backache), nerve root disorder, inflammation, arthralgia, post-surgery pain, cancer pain, cerebral vascular acute nerve disorder, head trauma nerve disorder, spinal cord injury-related nerve damage, Parkinson's disease, multiple sclerosis, epilepsy, insomnia, premature ejaculation, or manic-depressive psychosis. In biol. assays, 3-[4-[(2-fluorophenyl)ethynyl]piperidino]methyl-1H-pyrazin-2-one inhibited ectopic firing with ID50 of ≤0.5 mg/kg in rats and in vitro showed sodium channel-blocking activity in cultured rat hippocampus with IC50 of 0.4 μM.

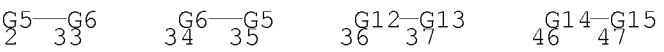
MSTR 1A

G30—G1—G20
1 2 3

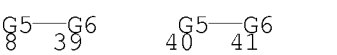
G1 = 8-1 9-3

G11—G19
8 9

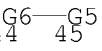
G5 = C(O)
G6 = NH (opt. substd.)
G11 = 32-1 33-9 / 34-1 35-9 / 36-1 37-9 /
46-1 47-9



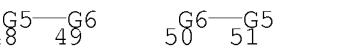
G12 = 38-1 39-37 / 40-1 41-37



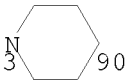
G13 = 44-36 45-9



G14 = 48-1 49-47 / 50-1 51-47



G15 = carbon chain <containing 1-6 C,
0 or more double bonds, 0 or more triple bonds>
(opt. substd.)
G19 = 93-8 90-3



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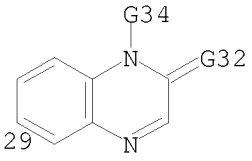

G32 = NH
Patent location: claim 1
Note: or salts or hydrates
Note: oxo substitution also claimed

REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 20 OF 42 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 139:307692 MARPAT
TITLE: Preparation of quinoline and related compounds for use as anti-inflammatory agents
INVENTOR(S): Jaroch, Stefan; Lehmann, Manfred; Schmees, Norbert; Berger, Markus; Rehwinkel, Hartmut; Krolikiewicz, Konrad; Skuballa, Werner; Schaecke, Heike;

	Schottelius, Arndt
PATENT ASSIGNEE(S):	Schering Aktiengesellschaft, Germany
SOURCE:	PCT Int. Appl., 122 pp.
	CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	German
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003082827	A1	20031009	WO 2003-EP3298	20030329
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CA 2481012	A1	20031009	CA 2003-2481012	20030329
AU 2003215678	A1	20031013	AU 2003-215678	20030329
EP 1492771	A1	20050105	EP 2003-745195	20030329
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CN 1659144	A	20050824	CN 2003-812684	20030329
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AT 355277	T	20060315	AT 2003-745195	20030329
NZ 535872	A	20061130	NZ 2003-535872	20030329
ES 2282649	T3	20071016	ES 2003-745195	20030329
US 20040116694	A1	20040617	US 2003-405033	20030402
US 6897224	B2	20050524		
TW 272267	B	20070201	TW 2003-92107522	20030402
MX 2004PA09684	A	20050217	MX 2004-PA9684	20041001
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US 20060229333	A1	20061012	US 2006-451508	20060613
US 7329753	B2	20080212		

PRIORITY APPLN. INFO.:

DE 2002-10215316	20020402
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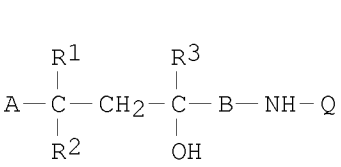

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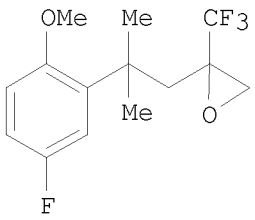

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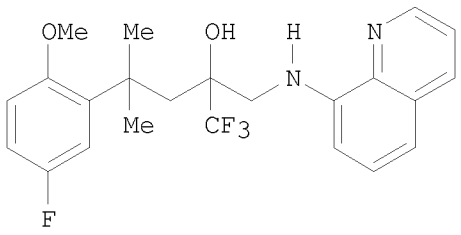
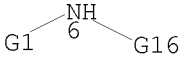


III

AB Title comounds I [A = (un)substituted aryl, benzyl, phenylethyl, etc.; R1, R2 = H, Me, Et, etc.; R3 = alkyl, fluoroalkyl; B = Me or Et substituted methylene, carbonyl; Q = (un)substituted quinoline or isoquinoline] and their pharmaceutically acceptable salts were prepared For example, condensation of 8-quinolinamine and epoxide II afforded quinoline III. Compds. I are noted useful as anti-inflammatory agents (no data provided).

MSTR 1



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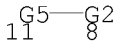
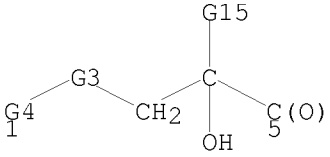


G2 = 1-11 5-6



G3 = 173

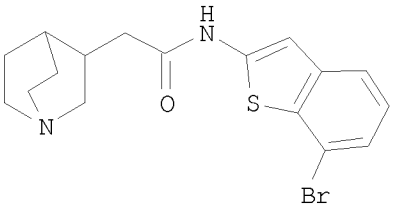
G16 = quinolinyl (opt. substd. by 1 or more G17)
G17 = NO2
Patent location: claim 1
Note: and physiologically acceptable salts
Stereochemistry: and racemates or stereoisomers

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 21 OF 42 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 139:277049 MARPAT
TITLE: Preparation of amides of bicyclic acetic and propionic acids
INVENTOR(S): Luithle, Joachim; Boess, Frank-gerhard; Erb, Christina; Schnizler, Katrin; Flessner, Timo; Van Kampen, Marja; Methfessel, Christoph
PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany; Bayer Healthcare AG
SOURCE: PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003078430	A1	20030925	WO 2003-EP2152	20030303
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10211416	A1	20030925	DE 2002-10211416	20020315
CA 2479097	A1	20030925	CA 2003-2479097	20030303
AU 2003210402	A1	20030929	AU 2003-210402	20030303
EP 1487835	A1	20041222	EP 2003-744337	20030303
EP 1487835	B1	20060920		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005526777	T	20050908	JP 2003-576435	20030303
ES 2273017	T3	20070501	ES 2003-744337	20030303
US 20070037844	A1	20070215	US 2005-508106	20050506
PRIORITY APPLN. INFO.:			DE 2002-10211416	20020315
			WO 2003-EP2152	20030303

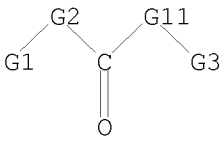
GI



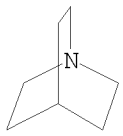
I

AB The bicyclic N-arylamides R1AC(:O)NR2R3 [R1 = 1-azabicyclo[m.n.p]alkyl (7 - 11 ring atoms, optionally substituted with C1-6-alkyl); m, n = 2, 3; p = 1, 2, 3; A = CH2, CH2CH2; R2 = 8-10 membered heteroaryl, naphthyl, azulenyl (optionally substituted with H, halo, CHO, CONH2, CN, CF3, CF3O, NO2, C1-6-alkyl, C1-6-alkoxy, C1-6-alkylthio); R3 = H, C1-6-alkyl] and their salts, solvates and salt solvates were prepared and used for producing pharmaceuticals for the treatment and/or prophylaxis of diseases and for improving perception, concentration, learning ability and memory. Thus, N-(7-bromo-1-benzothien-2-y)quinuclidine-3-acetamide hydrochloride (I.HCl) was prepared from quinuclidine-3-acetic acid and 3-bromo-1-benzothiophen-2-amine in DMF containing EtN(CHMe2)2 and catalytic HATU. The affinity of I for α7-nAChR was determined

MSTR 1



G1 = 118



118

G2 = CH2CH2
G3 = quinolinyl (opt. substd. by 1 or more G13)
G11 = NH
G13 = NO2
Patent location: claim 1
Note: and salts, solvates, and solvates of salts

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 22 OF 42 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 139:36445 MARPAT
TITLE: Preparation of 2-aminoquinolines as melanin

concentrating hormone receptor (MCH-1R) antagonists.

INVENTOR(S): Devita, Robert J.; Chang, Lehua; Chaung, Danny; Hoang, Myle; Jiang, Jinlong; Lin, Peter; Sailer, Andreas W.; Young, Jonathan R.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 178 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

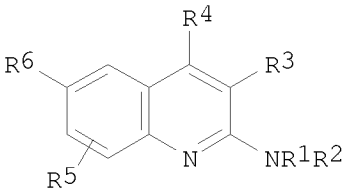
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003045313	A2	20030605	WO 2002-US37556	20021122
WO 2003045313	A3	20030904		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2468015	A1	20030605	CA 2002-2468015	20021122
AU 2002352878	A1	20030610	AU 2002-352878	20021122
AU 2002352878	B2	20071122		
EP 1450801	A2	20040901	EP 2002-789837	20021122
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005519876	T	20050707	JP 2003-546818	20021122
US 20050026915	A1	20050203	US 2004-496615	20040525
US 7084156	B2	20060801		
PRIORITY APPLN. INFO.:			US 2001-333581P	20011127
			WO 2002-US37556	20021122

GI

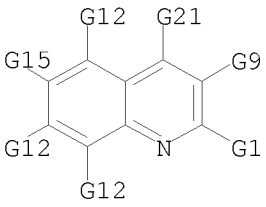


I

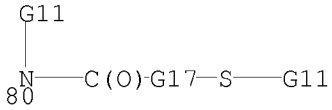
AB Title compds. [I; R1, R2 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkylalkyl, aralkyl, etc.; R1R2N = 4-11 membered (bridged) (substituted) heterocyclyl; R3, R4 = H, halo, (substituted) alkyl, alkenyl, alkynyl, perfluoroalkyl, cycloalkyl, cycloalkylalkyl, aryl, aralkyl, heteroaralkyl, OR7, N(R7)2, cyano, etc.; R3R4 = atoms to form 5-7

membered (substituted) ring; R5 = H, halo, alkyl, perfluoroalkyl, OR7, N(R7)2; R6 = (CH2)nR7, (CH2)nCN, (CH2)nCO2R7, (CH2)nOR7, (CH2)nN(R7)2, etc.; R7 = H, alkyl, aryl, heteroaryl, cycloalkyl, aralkyl, aralkenyl, cycloalkylalkenyl, etc.; n = 0-5], were prepared for the treatment or prevention of obesity, eating disorders, osteoarthritis, cancer, AIDS wasting, cachexia, frailty, mental disorders, stress, cognitive disorders, sexual function, reproductive function, kidney function, locomotor disorders, attention deficit disorder (ADD), substance abuse disorders and dyskinesias, Huntington's disease, epilepsy, memory function, and spinal muscular atrophy. Thus, 2-piperidin-1-ylquinolin-6-amine and (2E)-3-(4-chlorophenyl)prop-2-enoyl chloride were stirred 3 h in HOAc to give (2E)-3-(4-chlorophenyl)-N-(2-piperidin-1-ylquinolin-6-yl)prop-2-enamide hydrochloride. I bound to MCH-1R receptors with IC50 = 0.1-10000 nM.

MSTR 1



G1 = azetidino
G11 = Ph
G15 = 80



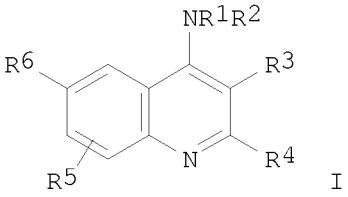
G17 = (1-5) CH2
Patent location: claim 1
Note: and pharmaceutically acceptable salts
Note: substitution is restricted
Note: additional substitution also claimed

L11 ANSWER 23 OF 42 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 139:22115 MARPAT
TITLE: Preparation of 4-aminoquinolines as melanin concentrating hormone receptor antagonists, particularly MCH-1R antagonists.
INVENTOR(S): Devita, Robert J.; Chang, Lehua; Hoang, Myle Thi; Jiang, Jinlong; Lin, Peter; Sailer, Andreas W.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 159 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003045920	A1	20030605	WO 2002-US37510	20021122
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2468159	A1	20030605	CA 2002-2468159	20021122
AU 2002352868	A1	20030610	AU 2002-352868	20021122
EP 1451156	A1	20040901	EP 2002-789827	20021122
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005518365	T	20050623	JP 2003-547372	20021122
US 20050009815	A1	20050113	US 2004-496614	20040525
PRIORITY APPLN. INFO.:			US 2001-333464P	20011127
			WO 2002-US37510	20021122

GI

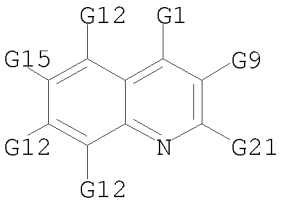


I

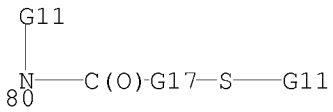
AB Title compds. [I; R1 R2 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkylalkyl, heterocycloalkylalkyl, arylalkyl, heteroarylalkyl; R1R2N = (substituted) heterocyclyl; R3, R4 = H, halo, (substituted) alkyl, perfluoroalkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, cycloheteroalkyl, cycloheteroalkylalkyl, aryl, arylalkyl, heteroaryl, heteroarylalkyl, OR7, NR7R7, CO2R7, cyano, CONR7R7; R3R4 = atoms to form a (substituted) 5-7 membered (hetero)cycloalkyl; R5 = H, halo, alkyl, perfluoroalkyl, OR7, NR7R7; R6 = (CH2)nR7, (CH2)naryl-R7, (CH2)n-heteroaryl-R7, (CH2)n-heterocycloalkyl-R7, (CH2)nCN, (CH2)nCON(R7)2, (CH2)nCO2R7, (CH2)nCOR7, (CH2)nNR7COR7, (CH2)nNR7CO(CH2)nSR7 (CH2)nNR7CO2R7, (CH2)nNR7CON(R7)2, (CH2)nNR7SO2R7, (CH2)nSOpR7, (CH2)nSO2N(R7)2, (CH2)nOR7, (CH2)nOC(O)R7, (CH2)nOCO2R7, (CH2)nO2CN(R7)2, (CH2)nN(R7)2, (CH2)nNR7SO2N(R7)2; R7 = H, (substituted) alkyl, aryl, heteroaryl, cycloalkyl, heterocycloalkyl, aralkyl, heteroarylalkyl, cycloalkylalkyl, heterocycloalkylalkyl, arylalkenyl, heteroarylalkenyl, cycloalkylalkenyl, heterocycloalkylalkenyl; n = 0-5; p = 0-2], were prepared Thus, 2-propylquinoline-4,6-diamine and (2E)-3-(4-chlorophenyl)prop-2-enoyl chloride were stirred 6 h in HOAc to give (2E)-N-(4-amino-2-propylquinolin-6-yl)-3-(4-chlorophenyl)prop-2-enamide. I are useful for the treatment or prevention of obesity or

eating disorders, osteoarthritis, certain cancers, AIDS wasting, cachexia, frailty, mental disorders, stress, cognitive disorders, sexual function, reproductive function, kidney function, locomotor disorders, attention deficit disorder, substance abuse disorders, dyskinesias, Huntington's disease, epilepsy, memory function, and spinal muscular atrophy. I showed IC50 = 0.1-10000 nM for MCH-1R receptor binding activity.

MSTR 1



G11 = Ph
G15 = 80



G17 = (1-5) CH2
G21 = heterocycle <containing 3 or more atoms,
zero or more N, zero or more O,
zero or more S (no other heteroatoms),
0 or more double bonds, mono- or polycyclic> (opt. substd.)
Patent location: claim 1
Note: and pharmaceutically acceptable salts
Note: substitution is restricted
Note: additional substitution also claimed

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 24 OF 42 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 137:6176 MARPAT
TITLE: Preparation of aromatic acid derivatives useful as serine protease inhibitors
INVENTOR(S): Bisacchi, Gregory S.; Sutton, James C., Jr.; Slusarchyk, William A.; Treuner, Uwe D.; Zhao, Guohua; Cheney, Daniel L.; Wu, Shung C.; Shi, Yan
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 182 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2002042273	A2	20020530	WO 2001-US46884	20011107
WO 2002042273	A3	20020829		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2428191	A1	20020530	CA 2001-2428191	20011107
AU 2002027269	A	20020603	AU 2002-27269	20011107
EP 1332131	A2	20030806	EP 2001-996145	20011107
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004514669	T	20040520	JP 2002-544409	20011107
HU 2004000651	A2	20040628	HU 2004-651	20011107
PRIORITY APPLN. INFO.:			US 2000-246392P	20001107
			WO 2001-US46884	20011107

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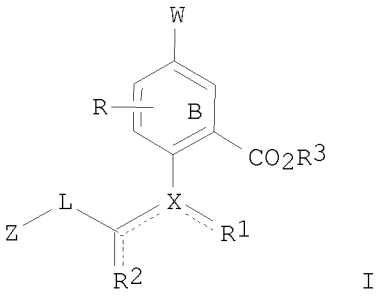
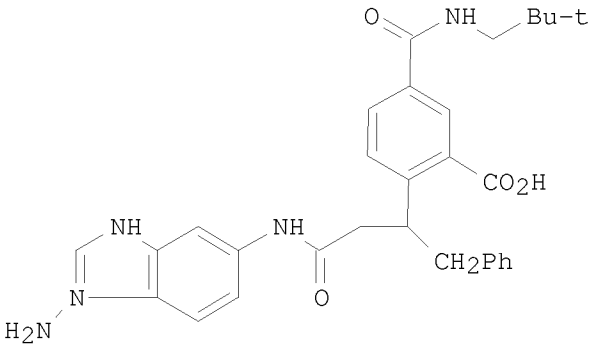


I

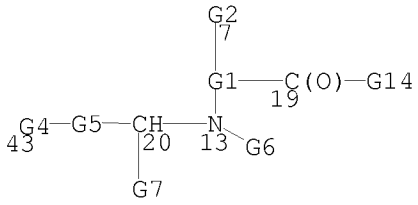


II

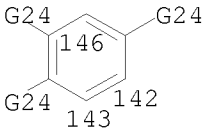
AB Aromatic compds. I, are useful as serine protease inhibitors, wherein ring B is Ph or pyridyl; W is amide, alkyl, alkenyl, heterocycle, heteroaryl, aryl, cycloalkyl; L is a linker group; X is N, CH, or C, provided that X

is C when R1 and R2 join to form a fully unsatd. ring; Z is an optionally-substituted monocyclic or bicyclic ring system; R is H, alkoxy, amine, alkyl, alkenyl, halogen, haloalkyl, cyano, nitro, alkylthio, CHO, acyl, CO2H, alkoxycarbonyl, sulfonamido, sulfonyl, Ph; R1 and R2 (i) are independently selected from hydrogen, alkyl, alkenyl, heteroaryl, aryl, heterocycle, and cycloalkyl; or (ii) are taken together to form an aryl, heteroaryl, cycloalkyl, or heterocycle, provided that R1 and R2 do not together form pyrazole when W is methoxy and Z is biphenyl; and when R1 and R2 individually or together form a heteroaryl, aryl, heterocycle, cycloalkyl; R3 is hydrogen, alkyl, substituted alkyl, heteroaryl, aryl, heterocycle, cycloalkyl, or alkyl substituted with -OC(O)R4 or -OC(O)OR4, wherein R4 is alkyl, cycloalkyl, provided that R3 is not Ph when W is methoxy. Thus, II was prepared for treating a coagulation-associated disorder, an inflammatory or immune disease, or metastases (no data). Included within the scope of the invention are pharmaceutical compns. for treating a serine protease disease, an inflammatory or immune condition, or cancer.

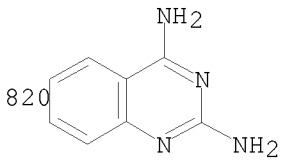
MSTR 1A



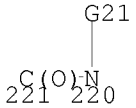
G1 = 146-7 143-13 142-19



G4 = 820



G5 = 221-20 220-43



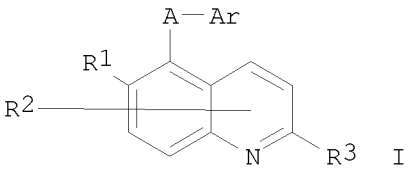
Patent location: claim 1

Note: or pharmaceutically acceptable salts, hydrates or prodrugs
Note: N- or S-oxides
Note: additional ring formation also claimed
Note: substitution is restricted

L11 ANSWER 25 OF 42 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 136:183715 MARPAT
TITLE: Preparation of quinoline derivatives as antiinflammatory agents
INVENTOR(S): Broka, Chris Allen; Kim, Woongki; McLaren, Kevin Lee; Smith, David Bernard
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002012192	A1	20020214	WO 2001-EP8880	20010801
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2418832	A1	20020214	CA 2001-2418832	20010801
AU 2001077560	A	20020218	AU 2001-77560	20010801
EP 1313707	A1	20030528	EP 2001-955382	20010801
EP 1313707	B1	20070718		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001013175	A	20040217	BR 2001-13175	20010801
JP 2004505951	T	20040226	JP 2002-518170	20010801
JP 3930428	B2	20070613		
AT 367379	T	20070815	AT 2001-955382	20010801
ES 2290161	T3	20080216	ES 2001-955382	20010801
US 20020082276	A1	20020627	US 2001-925883	20010807
US 7049325	B2	20060523		
ZA 2003000847	A	20040430	ZA 2003-847	20030130
MX 2003PA01178	A	20030630	MX 2003-PA1178	20030207
US 20060084677	A1	20060420	US 2005-291867	20051130
US 7186840	B2	20070306		
PRIORITY APPLN. INFO.:			US 2000-224196P	20000809
			WO 2001-EP8880	20010801
			US 2001-925883	20010807

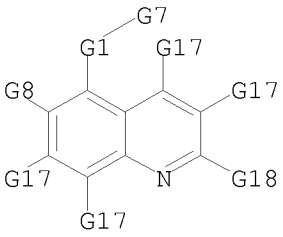
GI



I

AB The title compds. I [A = S, etc.; Ar = (un)substituted phenyl; R1 = H, alkoxy, etc.; R2 = H, alkyl, etc.; R3 = SO2R12, etc.; R12 = alkyl, etc.] are prepared I are useful as inhibitors of COX-II and, therefore, may be used for the treatment of a disease treatable by administration of a selective COX-II inhibitor, such as an inflammatory disease, autoimmune disease. Processes for preparing I are claimed. 5-(2,4-Difluorophenylsulfanyl)-2-methanesulfonyl-6-methoxyquinoline in vitro showed IC50 values of >40 μM and <0.2 μM against COX-I and COX-II, resp. Formulations are given.

MSTR 4



G4 = 22

22 G5—G6

G5 = alkylene <containing 1-6 C>
G6 = Ph (opt. substd.)
G8 = 29 / 31 / 34

29 G5—G12 31 G13—G5—G12 34 G14—G15

G14 = 36

36 N—G15

G15 = 38

C(O)-G4
38

G17 = 51

G14—G15
51

G18 = 58

Me—N—OMe
58

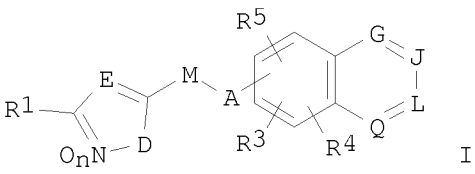
Patent location: claim 11

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 26 OF 42 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 135:137526 MARPAT
TITLE: Preparation of isothiazolylquinoxalines and related compounds as insecticides, acaricides, nematocides, and molluscicides.
INVENTOR(S): Pilkington, Brian Leslie; Armstrong, Sarah; Barnes, Nigel John; Barnett, Susan Patricia; Clarke, Eric Daniel; Crowley, Patrick Jelf; Fraser, Torquil Eoghan MacLeod; Hughes, David John; Mathews, Christopher John; Salmon, Roger; Smith, Stephen Christopher; Viner, Russell; Whittingham, William Guy; Williams, John; Whittle, Alan John; Mound, William Roderick; Urch, Christopher John
PATENT ASSIGNEE(S): Syngenta Limited, UK; Pilkington, Joan
SOURCE: PCT Int. Appl., 115 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001055140	A1	20010802	WO 2001-GB308	20010126
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: GB 2000-2032 20000128
GI



I

AB Title compds. [I; n = 0, 1; D = S, NR7, CR14:CR15, CR14:N, CR14:N(O), N:CR15, N(O):CR15; E = N, NO, CR2; G, J, L, Q = N, NO, CR6 provided that not all = N or CR6; M = OC(:Y), N:C(OR8), N:PC(SR9), N:C(NR10R11), N(R12)C(:Y); R1 = H, halo, (substituted) alkyl, alkenyl, alkynyl, alkoxy, cyano, NO2, SF5, etc.; R2 = H, halo, (substituted) alkyl, alkenyl, alkynyl, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, cyano, NO2, CHO, etc.; or R1R2 = atoms to form 5-7 membered (substituted) (heterocyclic) ring; R3, R4, R5 = H, halo, (substituted) alkyl, alkylcarbonyl, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, cyano, NO2, etc.; R6 = H, halo, cyano, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, alkoxycarbonyl, CHO, etc.; R7 = alkyl; R8 = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, amino, alkylcarbonyl, etc.; R9 = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, alkylcarbonyl, alkoxycarbonyl, etc.; R10, R11 = (substituted) alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, alkylcarbonyl, alkoxycarbonyl, CHO, etc.; R12 = H, (substituted) alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, alkylcarbonyl, alkoxycarbonyl, CHO, etc.; R14, R15 = H, halo, cyano, NO2, (substituted) alkyl, alkenyl, alkynyl, alkoxy], were prepared Thus, (2,3-dimethylquinoxalin-6-yl)acetic acid (preparation given) was refluxed with (COCl)2 in ClCH2CH2Cl followed by addition of 5-amino-4-chloro-3-methylisothiazole in xylene and reflux for 1.5 h to give N-(4-chloro-3-methylisothiazol-5-yl)-(2,3-dimethylquinoxalin-6-yl)acetamide. Several I at 500 ppm gave 80-100% control of Plutella xylostella.

MSTR 1

G10—G1
2 3

G1 = 121

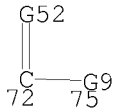
G49—G4
121 4

G2 = CH (opt. substd.)
G3 = 379 / N

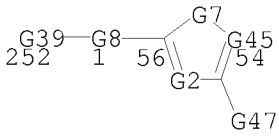
C——G53
379

G4 = heteroaryl <containing up to 10 atoms,
1 or more heteroatoms, zero or more N, zero or more O,

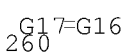
zero or more S> (opt. substd.)
G7 = S
G8 = 75-56 72-252



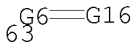
G9 = O
G10 = 252



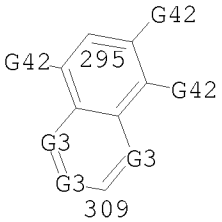
G11 = 260



G16 = O
G17 = carbon chain <containing 1-6 C, saturated>
(opt. substd.)
G39 = 76-1 77-3 / 78-1 79-3 / 63



G45 = N
G49 = 295-2 309-4



G52 = NH (opt. substd.)
Patent location: claim 1
Note: substitution is restricted
Note: additional ring formation also claimed

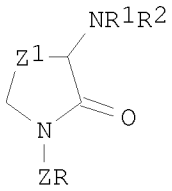
Note: and N-oxides
Note: also incorporates claim 9

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 27 OF 42 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 135:46082 MARPAT
TITLE: Preparation of N-(oxopyrrolidinyl)naphthalenesulfonamides and analogs as factor Xa inhibitors
INVENTOR(S): Choi-Sledeski, Yong Mi; Pauls, Heinz W.; Barton, Jeffrey N.; Ewing, William R.; Green, Daniel M.; Becker, Michael R.; Gong, Yong; Levell, Julian
PATENT ASSIGNEE(S): Aventis Pharma Deutschland G.m.b.H., Germany
SOURCE: PCT Int. Appl., 106 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001039759	A2	20010607	WO 2000-EP11577	20001121
WO 2001039759	A3	20020117		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6281227	B1	20010828	US 1999-453307	19991202
PRIORITY APPLN. INFO.:			US 1999-453307	19991202
			US 1996-33159P	19961213
			WO 1997-US22406	19971203
			US 1998-90492	19980603
			WO 1999-US12312	19990603

GI

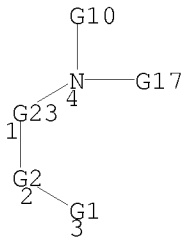


I

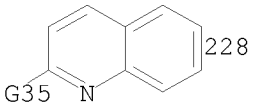
AB Title compds. [(un)substituted I; R = N-containing heteroaryl; R1 = H, (acyl)alkyl, (hetero)arylalkyl, etc.; R2 = H, (hetero)arylalkyl,

carbamoylalkyl, etc.; Z = (NH- or NHCO-interrupted or -terminated) alkylene; Z1 = (CH2)0-3] were prepared Thus, I (R1 = H, Z1 = CH2)(II; R = H, R2 = CO2cMe3, Z = bond) was N-alkylated by 7-bromomethyl-1-chloroisoquinoline (preparation each given) and the deprotected product N-acylated by 7-methoxynaphthalene-2-sulfonyl chloride (preparation given) to give, in 2 addnl. steps, II (R = 1-amino-7-isoquinolyl, R2 = 7-methoxynaphthalene-2-sulfonyl, Z = CH2). Data for biol. activity of I were given.

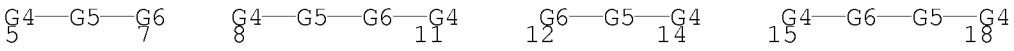
MSTR 1



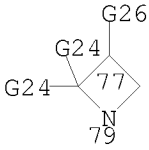
G1 = 228



G2 = 5-1 7-3 / 8-1 11-3 / 12-1 14-3 / 15-1 18-3



G4 = (1-2) CH2
G5 = C(O)
G6 = NH
G23 = 79-2 77-4



G35 = NH2

Patent location: claim 1
Note: or pharmaceutically acceptable salts, N-oxides, hydrates, or solvates
Note: substitution is restricted
Note: additional ring and oxo group formation also claimed

L11 ANSWER 28 OF 42 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 132:137386 MARPAT
TITLE: Preparation of heterocyclylalkylbenzamidines and analogs as thrombin inhibitors
INVENTOR(S): Hauel, Norbert; Ries, Uwe; Priepke, Henning; Mihm, Gerhard; Wienen, Wolfgang; Stassen, Jean Marie; Binder, Klaus; Zimmermann, Rainer
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany
SOURCE: Ger. Offen., 58 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19834751	A1	20000203	DE 1998-19834751	19980801
US 6121308	A	20000919	US 1999-359487	19990722
CA 2337825	A1	20000217	CA 1999-2337825	19990727
WO 2000008014	A1	20000217	WO 1999-EP5371	19990727
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9952885	A	20000228	AU 1999-52885	19990727
EP 1100795	A1	20010523	EP 1999-938353	19990727
EP 1100795	B1	20040609		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002522432	T	20020723	JP 2000-563647	19990727
AT 268763	T	20040615	AT 1999-938353	19990727
PT 1100795	T	20041029	PT 1999-938353	19990727
ES 2223177	T3	20050216	ES 1999-938353	19990727
MX 2001PA00399	A	20010622	MX 2001-PA399	20010111

PRIORITY APPLN. INFO.: DE 1998-19834751 19980801
US 1998-98838P 19980902
WO 1999-EP5371 19990727

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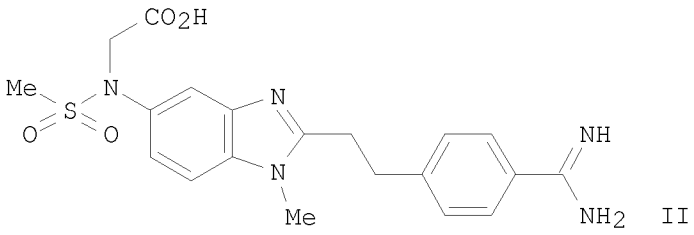
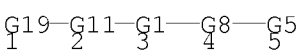


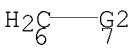
II

AB RaZ2Z1ZR [I; R = cyano or C(:NH)NHRb; Ra = (alkyl)amino, phenylalkoxy, NR4COR3, etc.; Rb = H, OH, alkyl, metabolically labile group; Z = (un)substituted (hetero)arylene; Z1 = (alkyl-substituted) CH2CH2, -OCH2, -CH2O, -NHCH2, etc.; Z2 = indole-, benzimidazole-, benzoxazole-n,2-diyl, quinolinediyl, etc.; n = 4-7] were prepared Thus, 2-methylamino-5-nitroaniline was cyclocondensed with HO2CCH2CH2C6H4(CN)-4 and the reduced product N-substituted by, successively, MeSO2Cl and BrCH2CO2Et to give, after aminolysis and saponification, title compound II. Data for biol. activity of I were given.

MSTR 1

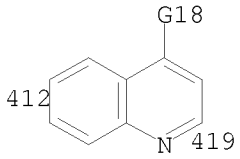


G1 = 6-2 7-4

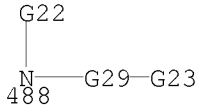


G2 = 10

G11 = 412-1 419-3



G19 = 488



G23 = alkyl <containing 1-3 C> (substd. by Ph)
G29 = C(O)
Derivative: and tautomers and salts
Patent location: claim 1
Note: also incorporates claim 12
Note: substitution is restricted
Stereochemistry: and stereoisomers

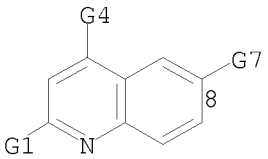
L11 ANSWER 29 OF 42 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 131:87829 MARPAT
TITLE: Preparation of N-(4-amino-6-quinolyl)carboxamides as chemokine receptor ligands and as anti-AIDS drugs
INVENTOR(S): Hagmann, William K.; Springer, Martin S.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 19 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5919776	A	19990706	US 1997-993494	19971218

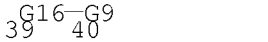
PRIORITY APPLN. INFO.: US 1997-993494 19971218

AB R3R2NZR4 [R2,R3 = H, (ar)alkyl, aryl, etc.; NR2R3 = heterocyclyl; R4 = NHCOXR7, CONHR7, NR8R9, etc.; R7 = H, alkyl, (hetero)aryl(alkyl), etc.; R8,R9 = H, alkyl, Ph; X = bond, O, NR8; Z = 2-(un)substituted quinoline-4,6-diyl] were prepared as chemokine receptor ligands and as anti-AIDS drugs (no data). Thus, 4,6-diamino-2-methylquinoline was amidated by (COCl)2 to give (H2NZNHCO)2 (Z = 2-aminoquinoline-4,6-diyl).

MSTR 1



G1 = benzimidazolyl
G7 = 39



G9 = Ph (opt. substd.)
G10 = (0-8) CH2
G16 = 25-8 29-40

Derivative: and pharmaceutically acceptable salts
Patent location: claim 1
Note: additional substitution also claimed

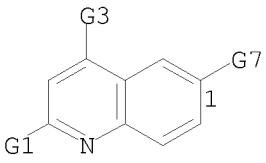
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 30 OF 42 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 129:90457 MARPAT
TITLE: Substituted aminoquinolines as modulators of chemokine receptor activity
INVENTOR(S): Hagmann, William K.; Springer, Martin S.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 67 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9827815	A1	19980702	WO 1997-US24255	19971218
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GW, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9858124	A	19980717	AU 1998-58124	19971218
PRIORITY APPLN. INFO.:			US 1996-33536P	19961220
			GB 1997-4345	19970303
			WO 1997-US24255	19971218

AB Aminoquinolines are useful as modulators of chemokine receptor activity and for preventing and treating infection by HIV. In particular, these compds. are useful as modulators of the chemokine receptors CCR-1, CCR-2, CCR-2A, CCR-2B, CCR-3, CCR-4, CCR-5, CXCR-3 and/or CXCR-4. Bis-(4-amino-2-methylquinolyl-6-oxalylamide) was prepared from 4,6-diamino-2-methylquinoline and oxalyl chloride. The prepared compds. bound to either the CCR-5 receptor or the CCR-3 receptor.

MSTR 1



G1 = heterocycle <containing 1-4 heteroatoms, zero or more N, up to 1 O, up to 1 S (no other heteroatoms), aromatic, 2 or more double bonds, mono- or bicyclic, (1) 5-membered, (up to 1) 6-membered rings only> (opt. substd.)
G7 = 35

HN—C(O)—G17
35

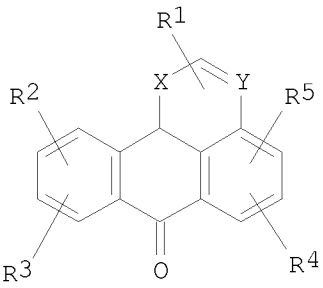
G15 = Ph (opt. substd. by (1-3) G16)
G17 = carbon chain <containing 1-10 C, no triple bonds>
(opt. substd. by 1 or more G15)
Derivative: and pharmaceutically acceptable salts
Patent location: claim 1
Stereochemistry: 70 - trans

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 31 OF 42 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 129:4589 MARPAT
TITLE: Preparation of poly(aza)cyclic aromatics as adhesion receptor antagonists
INVENTOR(S): Juraszyk, Horst; Gante, Joachim; Wurziger, Hanns; Raddatz, Peter; Bernotat-Danielowski, Sabine; Melzer, Guido
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany; Juraszyk, Horst; Gante, Joachim; Wurziger, Hanns; Raddatz, Peter; Bernotat-Danielowski, Sabine; Melzer, Guido
SOURCE: PCT Int. Appl., 67 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9818764	A1	19980507	WO 1997-EP5592	19971010
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9749466	A	19980522	AU 1997-49466	19971010
IN 1997CA01965	A	20050311	IN 1997-CA1965	19971020
PRIORITY APPLN. INFO.:			DE 1996-19644748	19961028
			WO 1997-EP5592	19971010

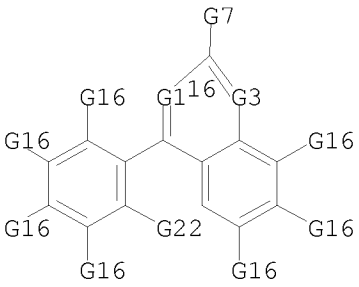
GI



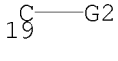
I

AB Title compds. (I; R1 = H, halo, alkyl, alkoxy, etc.; R2-R5 = H, halo, alkyl, alkoxy, etc.;X = CR6 or N; R6 = H, cyano, CO2H, alkoxycarbonyl, etc.; Y = CR7 or N; R7 = H, cyano, halo, alkoxy, etc.) were claimed as adhesion receptor antagonists (no data).

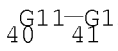
MSTR 3A



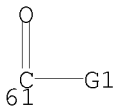
G1 = 19



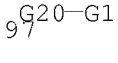
G3 = N
G7 = NH2 / 40



G13 = 61



G14 = alkylene <containing 1-6 C>
G15 = Ph (opt. substd.)
G16 = 97



G20 = NH
Patent location: claim 3

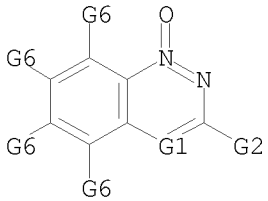
REFERENCE COUNT: 70 THERE ARE 70 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 32 OF 42 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 126:308809 MARPAT
TITLE: Parenteral formulations containing antitumor 1,2,4-benzotriazine oxides
INVENTOR(S): Brown, Stephen; Baker, Edward
PATENT ASSIGNEE(S): Sanofi Winthrop Inc., USA
SOURCE: PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9711699	A1	19970403	WO 1996-US13550	19960821
W: AU, CA, CN, CZ, HU, JP, KR, MX, NO, NZ, RU, SG				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2232989	A1	19970403	CA 1996-2232989	19960821
CA 2232989	C	20080129		
AU 9668548	A	19970417	AU 1996-68548	19960821
AU 718268	B2	20000413		
EP 866709	A1	19980930	EP 1996-928979	19960821
EP 866709	B1	20070110		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
CN 1202827	A	19981223	CN 1996-198496	19960821
HU 9802536	A2	19990428	HU 1998-2536	19960821
HU 9802536	A3	20000228		
JP 11511479	T	19991005	JP 1997-513413	19960821
RU 2166946	C2	20010520	RU 1998-104773	19960821
CZ 292102	B6	20030716	CZ 1998-898	19960821
ES 2277347	T3	20070701	ES 1996-928979	19960821
NO 9801324	A	19980525	NO 1998-1324	19980324
NO 317157	B1	20040830		
PRIORITY APPLN. INFO.:			US 1995-533424	19950925
			WO 1996-US13550	19960821

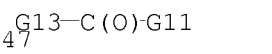
AB Disclosed are aqueous parenteral formulations for the treatment of cancers comprising 1,2,4-benzotriazine-1,4-dioxides in a citrate buffer, and method of tumor treatment. Claimed parenteral formulations comprise tirapazamine 0.5-0.81, NaCl 5-9, citric acid 0.9-10, NaOH 0.2-3 g, and water to 1 L.

MSTR 1



G1 = N
G2 = morpholino

G6 = 47



G11 = carbon chain <containing 1-4 C>
(opt. substd. by G12)
G12 = morpholino
G13 = NH
Derivative: or pharmacologically acceptable salts
Patent location: claim 1

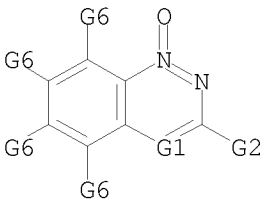
L11 ANSWER 33 OF 42 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 126:162278 MARPAT
TITLE: Oral gel capsule formulation of 1,2,4-benzotriazine oxides
INVENTOR(S): Brown, Stephen; Blundell, Ross
PATENT ASSIGNEE(S): Sanofi, Fr.
SOURCE: U.S., 6 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5597582	A	19970128	US 1995-527233	19950912
CA 2231545	A1	19970320	CA 1996-2231545	19960821
CA 2231545	C	20080715		
WO 9709968	A1	19970320	WO 1996-US13517	19960821
W: AU, CA, CN, CZ, HU, JP, KR, MX, NO, NZ, RU, SG				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9667800	A	19970401	AU 1996-67800	19960821
AU 702550	B2	19990225		
EP 868174	A1	19981007	EP 1996-928255	19960821
EP 868174	B1	20021120		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
CN 1200669	A	19981202	CN 1996-197966	19960821
CN 1080113	C	20020306		
HU 9802605	A2	19990428	HU 1998-2605	19960821
HU 9802605	A3	20000228		
JP 11513365	T	19991116	JP 1996-511962	19960821
RU 2173551	C2	20010920	RU 1998-106483	19960821
CZ 289733	B6	20020313	CZ 1998-644	19960821
AT 227982	T	20021215	AT 1996-928255	19960821
PT 868174	T	20030430	PT 1996-928255	19960821
ES 2187668	T3	20030616	ES 1996-928255	19960821
NO 9801042	A	19980310	NO 1998-1042	19980310
NO 320019	B1	20051010		
HK 1016889	A1	20021115	HK 1999-102031	19990505
PRIORITY APPLN. INFO.:			US 1995-527233	19950912
			WO 1996-US13517	19960821

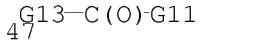
AB Disclosed are anticancer soft gelatin capsules comprising a

1,2,4-benzotriazine oxide and an oily excipient selected from the group consisting of soybean oil and fractionated coconut oil. A soft capsule contained tirapazamine 50, fractionated coconut oil 175.9, sorbitan monolaurate 9.26, hydrogenated vegetable oil 37, and yellow wax 7.4 mg.

MSTR 1



G1 = N
G2 = morpholino
G6 = 47



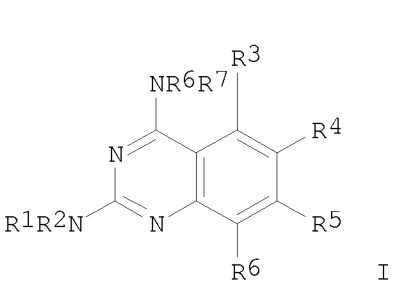
G11 = carbon chain <containing 1-4 C>
(opt. substd. by G12)
G12 = morpholino
G13 = NH
Derivative: or pharmacologically acceptable salts
Patent location: claim 1

L11 ANSWER 34 OF 42 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 125:168006 MARPAT
TITLE: Preparation of 2,4-diaminoquinazolines as insecticides
INVENTOR(S): Henrie, Robert N., II; Peake, Clinton J.; Cullen, Thomas G.; Lew, Albert C.; Chaguturu, Munirathnam K.; Ray, Partha S.; Yeager, Walter H.; Silverman, Ian R.; Buser, John W.; et al.
PATENT ASSIGNEE(S): FMC Corp., USA
SOURCE: U.S., 63 pp., Cont.-in-part of U.S. Ser. No. 149,491, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

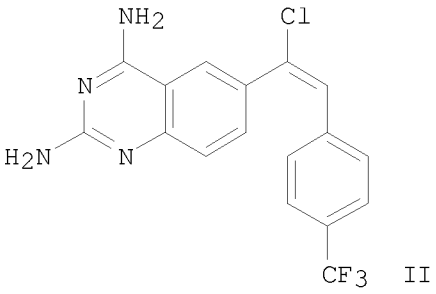
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5534518	A	19960709	US 1994-267340	19940628
ZA 9401038	A	19940825	ZA 1994-1038	19940215
US 5616718	A	19970401	US 1995-426541	19950420
US 5874579	A	19990223	US 1996-640610	19960501
PRIORITY APPLN. INFO.:			US 1993-19389	19930218
			US 1993-149491	19931109

US 1994-267340 19940628

GI



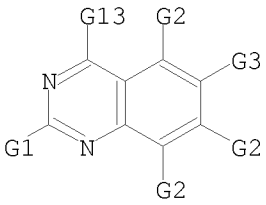
I



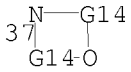
II

AB Title compds. [I; R1,R6 = H or alkyl; R2,R7 = H, alkyl, alkanoyl, alkoxycarbonyl, etc.; R1R2 = O-interrupted alkylene; R1R2,R6R7 = dialkylaminomethylene, pyrrolidinomethylene, etc.; R3,R5,R6 = H halo, alkyl, alkoxy, etc.; R4 = H halo, alkyl, alkoxy, substituted aryl(oxy), NHCH2C6H4(CO2H)-4, etc.] were prepared Thus, 2-methyl-6-nitrobenzonitrile was converted in 4 steps to 2-amino-5-ethynyl-6-methylbenzonitrile which was arylated with 4-IC6H4CF3 and the product condensed with ClC(:NH)NH2.HCl to give title compound II which gave 90 and 100% kill of Trichoplusia ni and Spodoptera exigua, resp., at 30 ppm foliar spray.

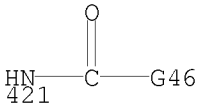
MSTR 1



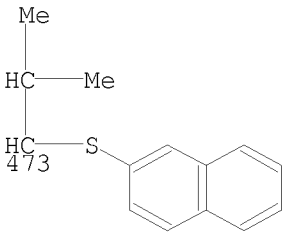
G1 = 37



G3 = 421



G46 = 473

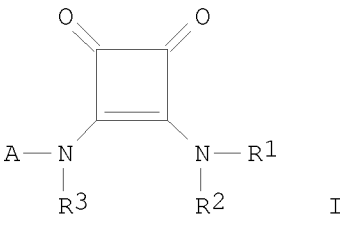


Derivative: and agriculturally acceptable salts
Patent location: claim 1

L11 ANSWER 35 OF 42 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 125:114227 MARPAT
TITLE: Preparation of diaminocyclobutene-3,4-diones as smooth muscle relaxants
INVENTOR(S): Antane, Madelene Miyoko; Butera, John Anthony; Hirth, Bradford Hammond; Antane, Schuyler Adam
PATENT ASSIGNEE(S): American Home Products Corporation, USA
SOURCE: PCT Int. Appl., 60 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9615103	A1	19960523	WO 1995-US13125	19951003
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TT, UA, UG, UZ, VN				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5464867	A	19951107	US 1994-340697	19941116
US 5512585	A	19960430	US 1995-459598	19950602
US 5530025	A	19960625	US 1995-460170	19950602
CA 2205307	A1	19960523	CA 1995-2205307	19951003
AU 9537646	A	19960606	AU 1995-37646	19951003
AU 686896	B2	19980212		
EP 796243	A1	19970924	EP 1995-935742	19951003
EP 796243	B1	19990127		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
BR 9509699	A	19980630	BR 1995-9699	19951003
JP 10509145	T	19980908	JP 1995-516053	19951003
FI 9702089	A	19970715	FI 1997-2089	19970515

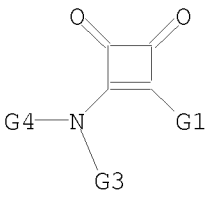
PRIORITY APPLN. INFO.: US 1994-340697 19941116
US 1995-459598 19950602
US 1995-460170 19950602
WO 1995-US13125 19951003
OTHER SOURCE(S): CASREACT 125:114227
GI



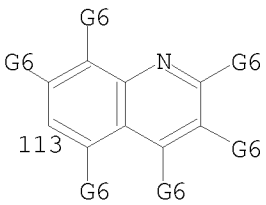
I

AB The preparation of title compds. I [R1, R2 = independent from each other, H, C1-10 straight chain alkyl, C1-10 branched alkyl, C3-10 cyclic or bicyclic alkyl; R3 = acyl substituent selected from the group consisting of formyl, alkanoyl atoms, alkylsulfonyl of 1-7 carbon atoms, aroyl of 7-12 carbon atoms, arylalkenoyl of 9-20 carbon atoms, arylsulfonyl of 6-12 carbon atoms, arylalkanoyl of 8-12 carbon atoms or arylalkylsulfonyl of 7-12 carbon atoms; A = (un)substituted Ph, (un)substituted nitrogen containing heterocycles, etc., or a pharmaceutically acceptable salt thereof], useful as smooth muscle relaxants, is described. Thus, reaction of 4-aminobenzonitrile with 3,4-diethoxy-3-cyclobutene-1,2-dione in EtOH gave 81% 4-(3,4-dioxo-2-ethoxycyclobut-1-enylamino)benzonitrile which on treatment with 2-amino-3,3-dimethylbutane in refluxing EtOH gave 71% 4-[3,4-dioxo-2-(1,2,2-trimethylpropylamino)cyclobut-1-enylamino]benzonitrile. Deprotonation of the later with NaH in DMF followed by treatment with propionic anhydride gave 48% title compound, N-(4-cyanophenyl)-N-[3,4-dioxo-2-(1,2,2-trimethylpropylamino)cyclobut-1-enyl]propionamide (II). The smooth muscle relaxant activity of II tested as inhibition of contractions in isolated rat bladder strips was IC50 μM = 0.50±0.0.

MSTR 1



G3 = COCH=CHPh
G4 = 113

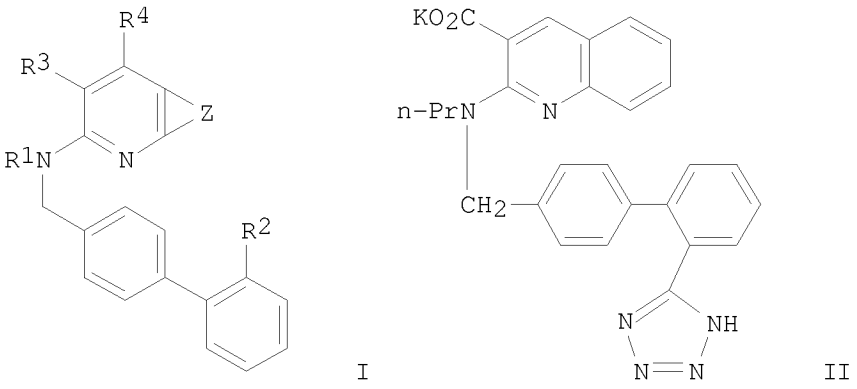


G6 = NH2
Derivative: or pharmaceutically acceptable salts
Patent location: claim 1
Note: substitution is restricted

L11 ANSWER 36 OF 42 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 123:228192 MARPAT
TITLE: Preparation of biphenylmethylamine derivatives having angiotensin II antagonist activity
INVENTOR(S): Tanigawa, Keizo; Kamikawaji, Masumasa; Oodoi, Keisuke; Higashama, Tsutomu; Sato, Masayuki; Masuda, Yukinori
PATENT ASSIGNEE(S): Nissan Chemical Ind Ltd, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 37 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07089957	A	19950404	JP 1993-236330	19930922
PRIORITY APPLN. INFO.:			JP 1993-236330	19930922

GI

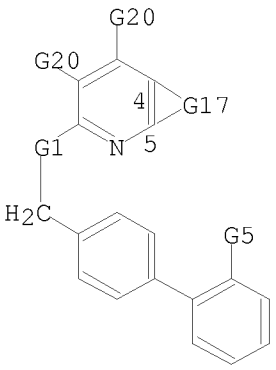


I II

AB [(Biphenylylmethyl)amino]quinoline and -naphthyridine derivs. [I; R1 = H, (un)substituted linear or branched C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, or C3-6 cycloalkyl, (un)substituted Ph; R2 = CO2H, C1-4 alkoxycarbonyl, SO3H, alkoxysulfonyl, SO2NH2, PO2H2 or its C1-4 alkyl ester, (un)substituted tetrazolyl; R3, R4 = H, (un)substituted linear or branched C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, or C3-6 cycloalkyl, (un)substituted Ph, (CH2)mX; wherein X = halo, cyano, NO2, CH(CN)2, CH(CO2Et)2, linear or branched C1-8 alkyl, etc.; m = 0-2; Z = (un)substituted CH:CHCH:CH, N:CHCH:CH, CH:NCH:CH, CH:CHN:CH, or CH:CHCH:N], useful for the treatment of cardiovascular diseases (hypertension, ischemic heart failure, or venous insufficiency), glaucoma, diabetic retinopathy, chronic kidney diseases, and central nervous system

diseases (anxiety, depression, memory loss, Alzheimer's diseases), are prepared Thus, 2-n-propylamino-3-ethoxycarbonylquinoline and 1,3-dimethyl-3,4,5,6-tetrahydro-2(1H)-pyrimidinone were treated with lithium hexamethylhydrazide in THF at -78° and then alkylated by 4-bromomethyl-2'-[N-trityl-(1H-tetrazol-5-yl)]biphenyl at -78° to give, after detritylation, saponification, and salt formation with KOH in aqueous MeOH, a title compound (II). II inhibited the angiotensin II (10-8 M)-induced contraction of a rabbit aorta sample by 69% at 1 + 10-6 M.

MSTR 1



G1 = NH
G2 = Ph (opt. substd. by 1 or more G4)
G8 = 131 / 45

G12—G13
131

G10—G11
45

G11 = 65

G12—G13
65

G12 = NH
G13 = 69

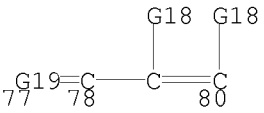
C(O)-G15
69

G15 = alkyl <containing 1-6 C>
(opt. substd. by 1 or more G2)
G17 = 100

G21—G8
100 93

G19 = 105

G21 = 77-4 78-93 80-5



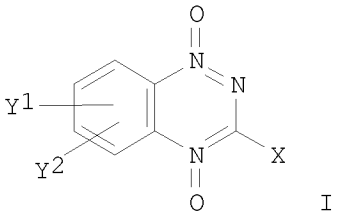
Derivative: and salts
Patent location: claim 1

L11 ANSWER 37 OF 42 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 123:102774 MARPAT
TITLE: Method of tumor treatment using a 1,2,4-benzotriazine oxide compound to enhance the cytotoxicity of a chemotherapeutic agent, and preparation of 1,2,4-benzotriazine oxide compounds
INVENTOR(S): Brown, J. Martin
PATENT ASSIGNEE(S): Board of Trustees of the Leland Stanford Junior University, USA
SOURCE: Can. Pat. Appl., 48 pp.
CODEN: CPXXEB
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 2132578	A1	19950323	CA 1994-2132578	19940921
CA 2132578	C	19981013		
US 5484612	A	19960116	US 1993-125609	19930922
EP 649658	A1	19950426	EP 1994-202693	19940919
EP 649658	B1	20000614		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
EP 972517	A2	20000119	EP 1999-118533	19940919
EP 972517	A3	20000126		
EP 972517	B1	20040707		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
AT 193827	T	20000615	AT 1994-202693	19940919
ES 2147567	T3	20000916	ES 1994-202693	19940919
PT 649658	T	20001229	PT 1994-202693	19940919
AT 270553	T	20040715	AT 1999-118533	19940919
PT 972517	T	20041130	PT 1999-118533	19940919
ES 2224517	T3	20050301	ES 1999-118533	19940919
AU 9474117	A	19950406	AU 1994-74117	19940921
AU 690132	B2	19980423		
NO 9403524	A	19950323	NO 1994-3524	19940922
JP 07215882	A	19950815	JP 1994-227568	19940922

HU 71119	A2	19951128	HU 1994-2726	19940922
RU 2148406	C1	20000510	RU 1994-34104	19940922
SK 282178	B6	20011106	SK 1994-1148	19940922
CZ 289742	B6	20020313	CZ 1994-2326	19940922
US 5670502	A	19970923	US 1995-448705	19950524
US 6121263	A	20000919	US 1997-852616	19970507
US 6277835	B1	20010821	US 2000-558786	20000426
GR 3034360	T3	20001229	GR 2000-402048	20000906
PRIORITY APPLN. INFO.:			US 1993-125609	19930922
			EP 1994-202693	19940919
			US 1995-448705	19950524
			US 1997-852616	19970507

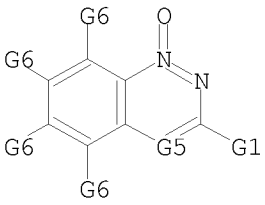
GI



I

AB Pharmaceutical compns. are disclosed for increasing toxicity of chemotherapy agents for treating mammalian cancer tumors, preferably solid tumors, comprising an effective amount of a 1,2,4-benzotriazine oxide compound I [X = H, (substituted) hydrocarbyl, halo, OH, alkoxy, (substituted) amino; n = 0, 1; and Y1, Y2 = H, nitro, halo, (substituted) hydrocarbyl, etc.] or pharmacol. acceptable salts thereof. Also disclosed are kits for treatment of such tumors which comprise a chemotherapy agent and a cytotoxicity-enhancing amount of a 1,2,4-benzotriazine oxide compound I. Preparation of I is included. Tirapazamine and cisplatin were tested in an in vivo RIF-1 tumor model.

MSTR 1



G1 = morpholino
G5 = N
G6 = 52

52 G13—C(O)-G11

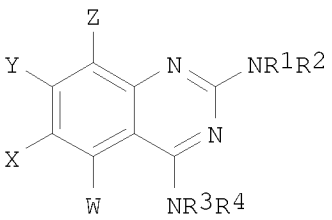
G11 = carbon chain <containing 1-4 C>
(opt. substd. by G12)
G12 = morpholino
G13 = NH
Derivative: or pharmacologically acceptable salts
Patent location: claim 1

L11 ANSWER 38 OF 42 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 121:295126 MARPAT
TITLE: Preparation of insecticidal substituted 2,4-diaminoquinazolines.
INVENTOR(S): Henrie, Robert Neil, II; Peake, Clinton Joseph; Cullen, Thomas Gerard; Lew, Albert C.; Chaguturu, Munirathnam Krishnappa; Ray, Partha Sarathi
PATENT ASSIGNEE(S): FMC Corp., USA
SOURCE: PCT Int. Appl., 152 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9418980	A1	19940901	WO 1994-US1658	19940217
W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9401038	A	19940825	ZA 1994-1038	19940215
AU 9462986	A	19940914	AU 1994-62986	19940217
EP 684824	A1	19951206	EP 1994-910694	19940217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI				

PRIORITY APPLN. INFO.: US 1993-19389 19930218
US 1993-149491 19931109
WO 1994-US1658 19940217

GI

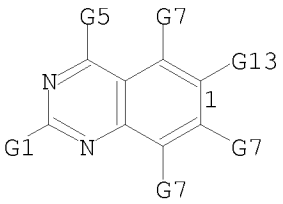


I

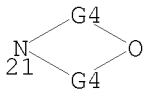
AB The title compds. I [R1= H, alkyl; R2,R3= R1, alkylcarbonyl, alkoxycarbonyl; R4 = H; R1R2= alkylenoxyalkylene; W, Y, Z = H,, halo, (halo)alkyl, (halo)alkoxy, (un)substituted thienyl or aroyl, etc.; X = H, halo, (halo)alkyl, NHCH2C6H4CO2H-4, etc.] are prepared as insecticides. 2-Amino-6-methyl-5-[3,5-di(trifluoromethyl)phenyl]benzonitrile (preparation

given) was reacted with chloroformamidine-HCl (preparation given) in diglyme, to yield 2,4-diamino-6-methyl-5-[3,5-di(trifluoromethyl)phenyl]quinazoline (II). Diets containing 4% II were lethal to the tobacco budworm (Heliothis virescens).

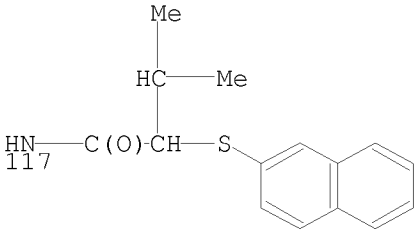
MSTR 1



G1 = 21



G13 = 117



Derivative: and acid addition salts
Patent location: claim 1

L11 ANSWER 39 OF 42 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 120:334801 MARPAT
TITLE: Color photographic recording material with a cyan-DIR-coupler
INVENTOR(S): Bergthaller, Peter; Bell, Peter
PATENT ASSIGNEE(S): Agfa-Gevaert A.-G., Germany
SOURCE: Eur. Pat. Appl., 42 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

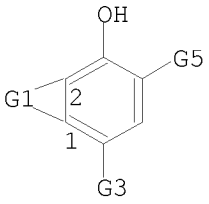
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 572894	A2	19931208	EP 1993-108361	19930524
EP 572894	A3	19950913		

EP 572894	B1	19990804		
R: DE, FR, GB				
DE 4218307	A1	19931209	DE 1992-4218307	19920603
DE 4225923	A1	19940210	DE 1992-4225923	19920805
JP 06035141	A	19940210	JP 1993-154211	19930601
PRIORITY APPLN. INFO.:			DE 1992-4218307	19920603
			DE 1992-4225923	19920805

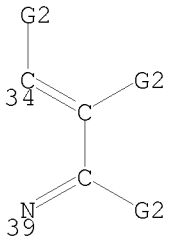
GI For diagram(s), see printed CA Issue.

AB The title material comprises a colorless cyan-DIR coupler having the formula I [A = electron acceptor group; A1 = atoms necessary to form a 5-membered heterocyclic ring which can be fused with a carbocyclic or heterocyclic ring; Q = atoms necessary to form a benzene or pyridine ring]. The coupler provides improved inter-image effect.

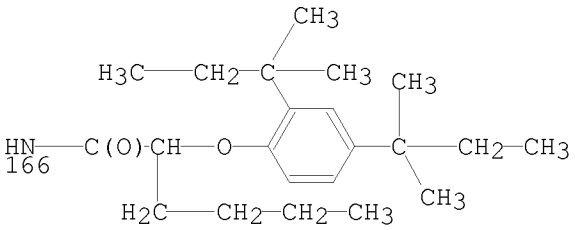
MSTR 1



G1 = 34-2 39-1



G2 = acylamino
G5 = 166



Patent location: claim 1

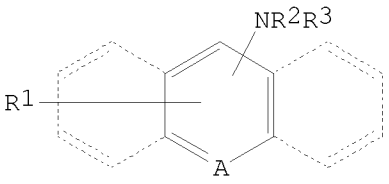
L11 ANSWER 40 OF 42 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 119:160149 MARPAT
TITLE: Nootropic agents containing a 1-azabicyclo[3.3.0]octan-

5-yl moiety

INVENTOR(S): Kurono, Masayasu; Baba, Yutaka; Suzuki, Tomoo; Suzuki, Tsunemasa; Hirooka, Kiyotaka; Sawai, Kiichi
PATENT ASSIGNEE(S): Sanwa Kagaku Kenkyusho Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 18 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 543307	A2	19930526	EP 1992-119554	19921116
EP 543307	A3	19930630		
EP 543307	B1	19980506		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 06184152	A	19940705	JP 1992-253546	19920831
US 5434165	A	19950718	US 1992-976499	19921113
AT 165829	T	19980515	AT 1992-119554	19921116

PRIORITY APPLN. INFO.: JP 1991-302070 19911118
OTHER SOURCE(S): CASREACT 119:160149
GI



I

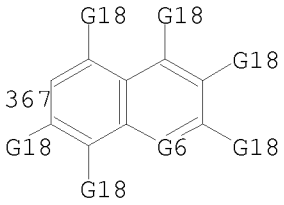
AB The title compds. I [A = CH, N, NO; R1 = NO2, NH2; R2 = H, lower alkyl, acyl group; R3 = (CO)m(CH2)nC(R4)R5N(R6)R7; R4, R5 = H, lower alkyl; R6, R7 = H, (un)branched lower alkyl; R4R6, R5R7, R6,R7 = alkylene chain forming a heterocyclic ring; m = 0, 1; n = 0-3], useful in the treatment of Alzheimer's disease (no data), dementia (no data), memory retention defect, aphasia (no data), apraxia (no data), psychosis (no data), or cerebral disorders caused by cerebral infarct and cerebrosclerosis (no data), are prepared, and pharmaceutical formulations containing I are presented.

Thus, 1-[N-(1-azabicyclo[3.3.0]octan-5-yl)methyl-N-methylamino]-4-nitronaphthalene (II) was prepared by the condensation of 1-chloro-4-nitronaphthalene with 5-(methylamino)methyl-1-azabicyclo[3.3.0]octane. II demonstrated 50% inhibitory concentration for inhibition of tritiated pirenzepine bonding with rat brain homogenate of 0.04 μM.

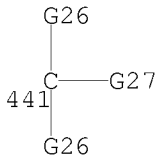
MSTR 1

G1—G21—G23—G25—G24

G1 = 367



G6 = N
G18 = NO2
G21 = NH
G23 = C(O)
G24 = 441



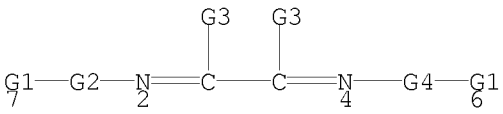
G25 = (0-3) CH2
G27 = pyrrolidino
Derivative: or pharmaceutically acceptable salts
Patent location: claim 1

L11 ANSWER 41 OF 42 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 111:183897 MARPAT
TITLE: Organic optical nonlinear material
INVENTOR(S): Tsunekawa, Tetsuya; Egawa, Keiichi; Goto, Tetsuya
PATENT ASSIGNEE(S): Toray Industries, Inc., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

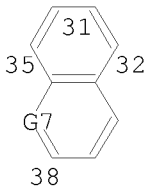
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 01062620	A	19890309	JP 1987-219742	19870902

PRIORITY APPLN. INFO.: JP 1987-219742 19870902
GI For diagram(s), see printed CA Issue.
AB An organic nonlinear optical material selected from E1A1N:CR1CR2:NA2E2, E1A1N:CR1MCR2:NA2E2, I, and II [A1, A2 = (hetero) aromatic ring; E1, E2 = electron-acceptor group; M = moiety linking 2 imine C; R = moiety needed to complete a ring containing 2 imine C; Q = moiety needed to complete a ring containing 1 imine C] is claimed.

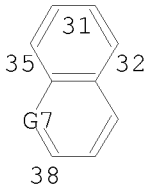
MSTR 2A



G1 = NO2
G2 = 35-7 32-2 / 32-7 35-2 / 38-7 31-2 / 31-7 38-2



G3 = OH
G4 = phenylene / 35-6 32-4 / 32-6 35-4 / 38-6 31-4



G7 = N
Patent location: claim 1

L11 ANSWER 42 OF 42 MARPAT COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 95:187290 MARPAT
TITLE: Quinazoline derivatives and pharmaceutical compositions containing them
INVENTOR(S): Ueda, Ikuo; Kato, Masayuki; Nagano, Masanobu
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd. , Japan
SOURCE: Eur. Pat. Appl., 120 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 30156	A1	19810610	EP 1980-304335	19801202
EP 30156	B1	19840321		
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
US 4377580	A	19830322	US 1980-210340	19801125
AU 8064733	A	19810611	AU 1980-64733	19801126
AU 541811	B2	19850124		

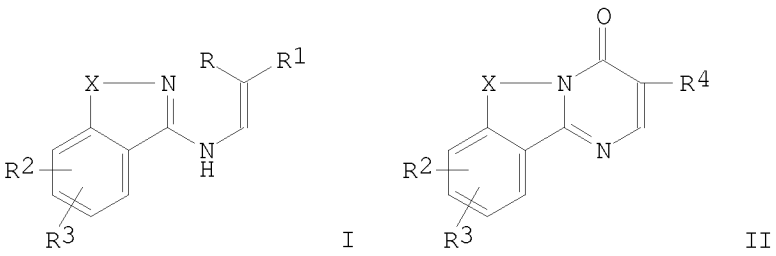
DK 8005139	A	19810604	DK 1980-5139	19801202
CA 1157858	A1	19831129	CA 1980-365968	19801202
AT 6778	T	19840415	AT 1980-304335	19801202
JP 56095174	A	19810801	JP 1980-170459	19801203
JP 05002679	B	19930113		
US 4429126	A	19840131	US 1982-384998	19820604
US 4543356	A	19850924	US 1983-455411	19830103
CA 1169062	A2	19840612	CA 1983-432297	19830712
JP 05294946	A	19931109	JP 1991-201541	19910509
JP 06051686	B	19940706		

PRIORITY APPLN. INFO.:

GB 1979-41607	19791203
GB 1980-31965	19801003
US 1980-210340	19801125
CA 1980-365968	19801202
EP 1980-304335	19801202

OTHER SOURCE(S): CASREACT 95:187290

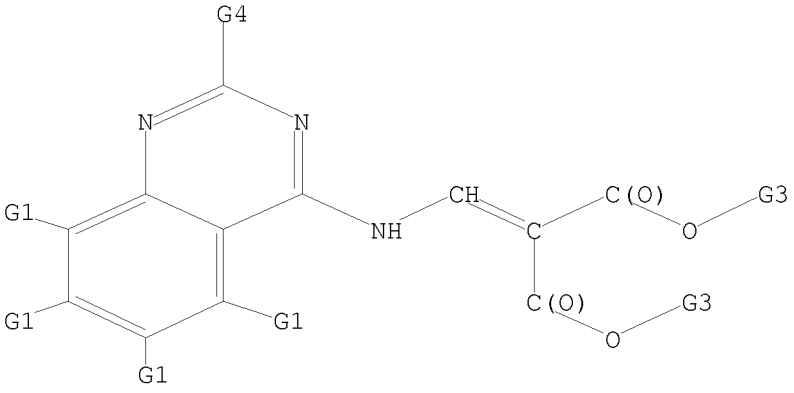
GI



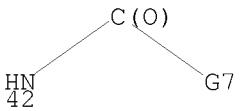
I II

AB The title compds. I, II [R, R1 = esterified carboxy; R2, R3 = H, alkyl, halo, NO2, NH2, alkoxy, aryloxy, etc.; R4 = H, carboxy, esterified carboxy; X = N:CR5 (R5 = H, alkyl, OH, alkoxy, alkenyloxy, dialkylamino, etc.), R6NCO (R6 = alkyl, alkenyl), etc.] were prepared Thus, stirring 4-aminoquinazoline with EtOCH:C(CO2Et)2 in DMF 1 h at 160° gave di-Et [(4-quinazolinylamino)methylene]propanedioate. I and II are antiallergic agents (test data given).

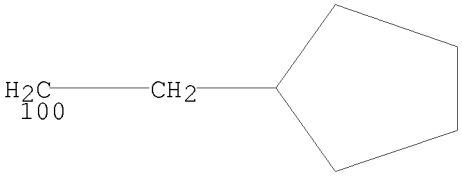
MSTR 1



G1 = 42



G4 = NMe2
G7 = 100



Patent location: claims
Note: record may include structures from disclosure

=> d his

(FILE 'HOME' ENTERED AT 14:46:44 ON 20 AUG 2008)

FILE 'REGISTRY' ENTERED AT 14:47:10 ON 20 AUG 2008
L1 STRUCTURE UPLOADED
L2 STRUCTURE UPLOADED
L3 0 S L1 SAM
L4 3 S L2 SAM
L5 61 S L1 OR L2 FULL
L6 STRUCTURE UPLOADED
L7 556 S L6 FULL
L8 2 S L5 NOT L7

FILE 'CA' ENTERED AT 14:49:13 ON 20 AUG 2008
L9 3 S L8

FILE 'MARPAT' ENTERED AT 14:49:57 ON 20 AUG 2008
L10 STRUCTURE UPLOADED
L11 42 S L10 FULL

=>

---Logging off of STN---

=>
Executing the logoff script...

=> LOG Y

STN INTERNATIONAL LOGOFF AT 14:54:47 ON 20 AUG 2008